=>

Uploading C:\Program Files\Stnexp\Queries\rkc446.str

```
chain nodes :
10  11  12  13  14  24  25  27
ring nodes :
1  2  3  4  5  6  7  8  9  15  16  17  18  19  20
chain bonds :
6-10  10-11  10-24  11-12  11-13  12-14  12-25  14-15
ring bonds :
1-2  1-6  2-3  3-4  3-7  4-5  4-8  5-6  7-9  8-9  15-16  15-20  16-17  17-18  18-19
19-20
exact/norm bonds :
3-7  4-8  6-10  7-9  8-9  10-11  10-24  11-12  11-13  12-14  12-25  14-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-16  15-20  16-17  17-18  18-19  19-20
isolated ring systems :
containing 1 : 15 :
```

G1:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

20:Atom 24:CLASS

25:CLASS 27:CLASS 28:CLASS

Generic attributes :

14:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Number of Hetero Atoms : less than 2

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful

FULL SEARCH INITIATED 15:34:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446b.str

```
chain nodes :
10  11  12  13  14  24  25
ring nodes :
1  2  3  4  5  6  7  8  9  15  16  17  18  19  20
chain bonds :
6-10  10-11  10-24  11-12  11-13  12-14  12-25  14-15
ring bonds :
1-2  1-6  2-3  3-4  3-7  4-5  4-8  5-6  7-9  8-9  15-16  15-20  16-17  17-18  18-19
19-20
exact/norm bonds :
3-7  4-8  6-10  7-9  8-9  10-11  10-24  11-12  11-13  12-14  12-25  14-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-16  15-20  16-17  17-18  18-19  19-20
isolated ring systems :
containing 1 : 15 :
```

G1:C,O

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 24:CLASS
25:CLASS
Generic attributes:
14:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Number of Hetero Atoms : less than 2

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 13 ful

FULL SEARCH INITIATED 15:36:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

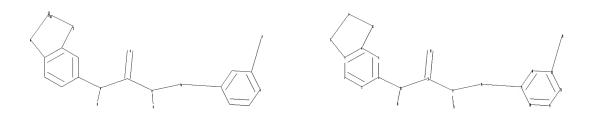
100.0% PROCESSED 791 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L3

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446c.str



```
chain nodes :
10  11  12  13  14  24  25  27
ring nodes :
1  2  3  4  5  6  7  8  9  15  16  17  18  19  20
chain bonds :
6-10  10-11  10-24  11-12  11-13  12-14  12-25  14-15  17-27
ring bonds :
1-2  1-6  2-3  3-4  3-7  4-5  4-8  5-6  7-9  8-9  15-16  15-20  16-17  17-18  18-19
19-20
exact/norm bonds :
3-7  4-8  6-10  7-9  8-9  10-11  10-24  11-12  11-13  12-14  12-25  14-15  17-27
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-16  15-20  16-17  17-18  18-19  19-20
isolated ring systems :
containing 1 : 15 :
```

G1:C,O

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 24:CLASS
25:CLASS 27:CLASS
Generic attributes:

14:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Number of Hetero Atoms : less than 2

L5 STRUCTURE UPLOADED

=> s 15 ful

FULL SEARCH INITIATED 15:43:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

L6 1 SEA SSS FUL L5

=> d

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN

RN 712269-44-8 REGISTRY

ED Entered STN: 19 Jul 2004

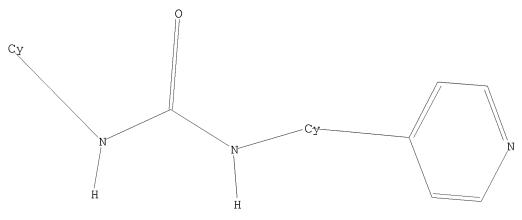
CN Urea, N-1,3-benzodioxol-5-yl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C24 H19 N5 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



G1 C, O

G2 O, S, N, Me, Et, n-Pr, MeO, EtO, n-PrO

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 491.42 491.84

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 15:43:44 ON 18 JUN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 18 Jun 2005 VOL 142 ISS 26 FILE LAST UPDATED: 17 Jun 2005 (20050617/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 1 L6

=> d fbib abs fhitstr

- L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:515503 CAPLUS
- DN 141:71452
- TI Preparation of pyridine derivatives as JNK inhibitors
- IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie
- PA Astrazeneca Ab, Swed.
- SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

- DT Patent
- LA English

FAN.CNT 1

	PATENT NO.		KIND DATE		APPLICATION NO.					DATE								
							_											
ΡI	WO	2004	0528	80		A1		2004	0624	,	WO 2	003-	SE19	11		2	0031	208
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝI,	NO,

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NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG SE 2002-3654

A 20021209
```

OS MARPAT 141:71452 GI

AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, CONR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

IT 712269-44-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4-bipyridine-2,2'-diamine derivs. as JNK inhibitors) RN $\,$ 712269-44-8 CAPLUS

CN Urea, N-1,3-benzodioxol-5-yl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

6.29 498.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL
ENTRY SESSION

-0.73 -0.73

FILE 'STNGUIDE' ENTERED AT 15:45:28 ON 18 JUN 2005
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 10, 2005 (20050610/UP).

=> fil reg COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 0.12 498.25 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE 0.00 -0.73

FILE 'REGISTRY' ENTERED AT 15:46:32 ON 18 JUN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUN 2005 HIGHEST RN 852510-62-4 DICTIONARY FILE UPDATES: 17 JUN 2005 HIGHEST RN 852510-62-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

 Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446d.str

```
chain nodes :
10  11  12  13  14  24  25
ring nodes :
1  2  3  4  5  6  7  8  9  15  16  17  18  19  20
chain bonds :
6-10  10-11  10-24  11-12  11-13  12-14  12-25  14-15
ring bonds :
1-2  1-6  2-3  3-4  3-7  4-5  4-8  5-6  7-9  8-9  15-16  15-20  16-17  17-18  18-19
19-20
exact/norm bonds :
3-7  4-8  6-10  7-9  8-9  10-11  10-24  11-12  11-13  12-14  12-25  14-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-16  15-20  16-17  17-18  18-19  19-20
isolated ring systems :
containing 1 : 15 :
```

G1:C,O

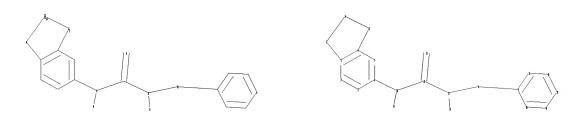
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Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 24:CLASS
25:CLASS
Generic attributes :
14:
Saturation
                     : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
L8
       STRUCTURE UPLOADED
=> d
L8 HAS NO ANSWERS
L8
               STR
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
=> s 18 ful
FULL SEARCH INITIATED 15:48:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE
100.0% PROCESSED
                  791 ITERATIONS
                                                             1 ANSWERS
SEARCH TIME: 00.00.01
L9
            1 SEA SSS FUL L8
=> d
L9
    ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN
    712269-44-8 REGISTRY
    Entered STN: 19 Jul 2004
ED
    Urea, N-1,3-benzodioxol-5-yl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]-
CN
     (9CI) (CA INDEX NAME)
FS
    3D CONCORD
    C24 H19 N5 O3
MF
SR
LC
    STN Files: CA, CAPLUS, TOXCENTER
```

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Uploading C:\Program Files\Stnexp\Queries\rkc446e.str



chain nodes : 10 11 12 13 14 24 25

ring nodes :

 $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20$

chain bonds :

0 ANSWERS

G1:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 24:CLASS 25:CLASS

L10 STRUCTURE UPLOADED

=> s 110 ful

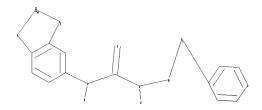
FULL SEARCH INITIATED 15:50:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

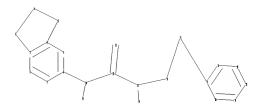
100.0% PROCESSED 791 ITERATIONS SEARCH TIME: 00.00.01

L11 0 SEA SSS FUL L10

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446f.str





```
chain nodes :
10  11  12  13  14  24  25  27
ring nodes :
1  2  3  4  5  6  7  8  9  15  16  17  18  19  20
chain bonds :
6-10  10-11  10-24  11-12  11-13  12-14  12-25  14-27  15-27
ring bonds :
1-2  1-6  2-3  3-4  3-7  4-5  4-8  5-6  7-9  8-9  15-20  15-16  16-17  17-18  18-19
19-20
exact/norm bonds :
3-7  4-8  6-10  7-9  8-9  10-11  10-24  11-12  11-13  12-14  12-25  14-27  15-27
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-20  15-16  16-17  17-18  18-19  19-20
isolated ring systems :
containing 1 : 15 :
```

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 24:CLASS

Page 15

25:CLASS 27:CLASS Generic attributes :

14:

Saturation : Unsaturated Number of Hetero Atoms : less than 2

L12 STRUCTURE UPLOADED

=> s 112 ful

FULL SEARCH INITIATED 15:54:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 352 TO ITERATE

100.0% PROCESSED 352 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L13 0 SEA SSS FUL L12

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446g.str





chain nodes :

 $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 14 \quad 15 \quad 16 \quad 18$

ring nodes :

0 ANSWERS

7 8 9 10 11 12 chain bonds:
1-2 1-18 2-3 2-14 3-4 3-5 4-6 4-15 6-16 7-16 ring bonds:
7-12 7-8 8-9 9-10 10-11 11-12 exact/norm bonds:
1-2 1-18 2-3 3-4 3-5 4-6 6-16 7-16 exact bonds:
2-14 4-15 normalized bonds:

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

7-12 7-8 8-9 9-10 10-11 11-12

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 14:CLASS 15:CLASS 16:CLASS 18:Atom

Generic attributes :

6:

Saturation : Unsaturated Number of Hetero Atoms : less than 2

L14 STRUCTURE UPLOADED

=> s 114 ful

FULL SEARCH INITIATED 16:02:57 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 53982 TO ITERATE

100.0% PROCESSED 53982 ITERATIONS

SEARCH TIME: 00.00.01

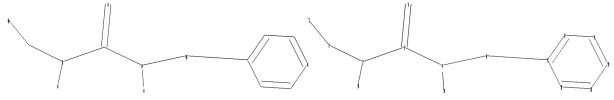
L15 0 SEA SSS FUL L14

=> d

L15 HAS NO ANSWERS L14 STR

Structure attributes must be viewed using STN Express query preparation. L15 $\,$ 0 SEA FILE=REGISTRY SSS FUL L14

=>
Uploading C:\Program Files\Stnexp\Queries\rkc446h.str



```
chain nodes :
1  2  3  4  5  6  14  15  17
ring nodes :
7  8  9  10  11  12
chain bonds :
1-2  1-17  2-3  2-14  3-4  3-5  4-6  4-15  6-7
ring bonds :
7-12  7-8  8-9  9-10  10-11  11-12
exact/norm bonds :
1-2  1-17  2-3  3-4  3-5  4-6  6-7
exact bonds :
2-14  4-15
normalized bonds :
7-12  7-8  8-9  9-10  10-11  11-12
```

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 14:CLASS 15:CLASS 17:Atom

Generic attributes :

6:

Saturation : Unsaturated Number of Hetero Atoms : less than 2

L16 STRUCTURE UPLOADED

=> d

L16 HAS NO ANSWERS L16 STR

PAGE 1-A

Structure attributes must be viewed using STN Express query preparation.

=> s 116 ful

FULL SEARCH INITIATED 16:06:00 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 89569 TO ITERATE

10 ANSWERS

100.0% PROCESSED 89569 ITERATIONS

SEARCH TIME: 00.00.01

L17 10 SEA SSS FUL L16

=> d 1-10

L17 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN

RN 712269-82-4 REGISTRY

ED Entered STN: 19 Jul 2004

CN Urea, N-[(4-methylphenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H23 N5 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

t-Bu
NH-C-NH
Bu-t
bu
NH-C-NH
Bu-t

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN

RN 712269-53-9 REGISTRY

ED Entered STN: 19 Jul 2004

CN Urea, N-[(2-methylphenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H23 N5 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L17 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 712269-48-2 REGISTRY
- ED Entered STN: 19 Jul 2004
- CN Urea, N-[(4-methoxyphenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C25 H23 N5 O2
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

$$R^1$$
 R^2
 $X = Z - R^3$
 Y
 HN
 N
 Ar
 R^4
 R^5
 N

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ΙI

- L17 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 712269-35-7 REGISTRY
- ED Entered STN: 19 Jul 2004
- CN Urea, N-[(3,4-dichlorophenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]-(9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C24 H19 C12 N5 O
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN

RN 712269-32-4 REGISTRY

ED Entered STN: 19 Jul 2004

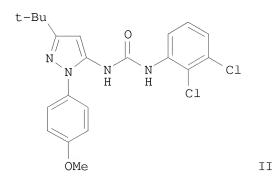
CN Urea, N-[(2-chlorophenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C24 H20 C1 N5 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN

RN 712269-29-9 REGISTRY

ED Entered STN: 19 Jul 2004

CN Urea, N-[(3-fluorophenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C24 H20 F N5 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN

RN 712269-27-7 REGISTRY

ED Entered STN: 19 Jul 2004

CN Urea, N-[(2-fluorophenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C24 H20 F N5 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L17 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 712269-08-4 REGISTRY
- ED Entered STN: 19 Jul 2004
- CN Urea, N-[1-(4-bromophenyl)ethyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C25 H22 Br N5 O
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L17 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 125421-93-4 REGISTRY
- ED Entered STN: 16 Feb 1990
- CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2-chloro-6-fluoro- (9CI) (CA INDEX NAME)
- MF C26 H16 C12 F2 N6 O4
- SR CA
- LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN

RN 125421-89-8 REGISTRY

ED Entered STN: 16 Feb 1990

CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro-(9CI) (CA INDEX NAME)

MF C26 H16 C14 N6 O4

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

ENTRY SESSION

SINCE FILE TOTAL
ENTRY SESSION

-0.73

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FILE COVERS 1907 - 18 Jun 2005 VOL 142 ISS 26 FILE LAST UPDATED: 17 Jun 2005 (20050617/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 117 L18 5 L17

=> d 1-5 fbib abs fhitstr

- L18 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:515503 CAPLUS
- DN 141:71452
- TI Preparation of pyridine derivatives as JNK inhibitors
- IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie
- PA Astrazeneca Ab, Swed.
- SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.			KIND DATE		APPLICATION NO.					DATE							
							_									_		
ΡI	WO 2004052880			A1 20040624			WO 2003-SE1911					20031208						
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
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			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΙ,	NO,
			NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG SE 2002-3654 A 20021209
```

OS MARPAT 141:71452 GI

The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

TT 712269-08-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of 4,4-bipyridine-2,2'-diamine derivs. as JNK inhibitors) 712269-08-4 CAPLUS

CN Urea, N-[1-(4-bromophenyl)ethyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

- L18 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1991:228745 CAPLUS
- DN 114:228745

RN

- TI Preparation of new bis[3-(2,6-disubstituted benzoyl)-1-ureyl]bipyridines as insecticides
- IN Sobotka, Wieslaw; Styczynska, Bogumila; Balicki, Roman; Kozlowska, Margarita; Krzeminska, Alicja; Kaczmarek, Lukasz; Ejmocki, Zdzislaw
- PA Polska Akademia Nauk, Instytut Chemii Organicznej, Pol.
- SO Pol., 5 pp. CODEN: POXXA7
- DT Patent

LA	Pol	ish.
FAN.	CNT	1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	PL 149392	B1	19900228	PL 1987-266054	19870603
				PL 1987-266054	19870603

OS CASREACT 114:228745; MARPAT 114:228745

GΙ

- AB Title compds. I (R, R1 = H, C1-4 alkoxy, halo, CF3) are prepared by reaction of corresponding disubstituted benzoyl isocyanates with bipyridine diamines in an inert solvent at 20-120°. For example, 4,4'-bipyridine-3,3'-diamine reacted with 2 mol equiv 2,6-ClFC6H3CONCO in CH2Cl2 at 40° to give 86.3% title compound II. Eight I showed varying degrees of effectiveness as chitin synthesis inhibitors when applied to larval Musca domestica.
- IT 125421-89-8P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide)
- RN 125421-89-8 CAPLUS
- CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro-(9CI) (CA INDEX NAME)
- L18 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1990:606648 CAPLUS
- DN 113:206648
- TI Search for new chitin biosynthesis inhibitors and their effects on the housefly (Musca domestica L.)
- AU Balicki, R.; Sobotka, W.; Styszynska, B.
- CS Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01 224, Pol.
- SO Tagungsbericht Akademie der Landwirtschaftswissenschaften der Deutschen Demokratischen Republik (1989), 274(Insectic.-Mech. Action Resist.), 167-70

CODEN: TALDA3; ISSN: 0138-2659

- DT Journal
- LA English

GΙ

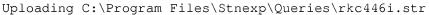
AB The inhibition of the development of housefly (Musca domestica) by 2,6-dichlorobenzoylaryl- or heteroaryl ureas and sym. substituted 2,2', 3,3' and 4,4'-bipyridyls with 2,6-dihalogenobenzoylurea moiety depended on their structure. CF3 and F in para position of aromatic ring inhibited development; compound (I) was the most active against the larvae and adults. Also Br atom in pyridine system increased the activity. Significant inhibition of adults and pupae growth was observed with the 3,3-bipyridyl

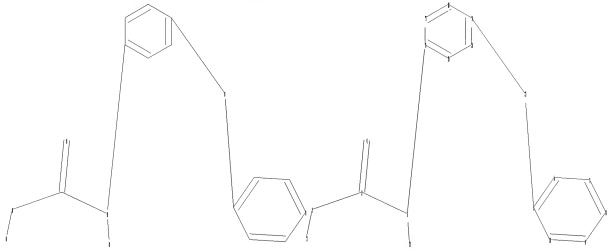
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derivative (II).
     125421-93-4
ΙT
     RL: BIOL (Biological study)
        (housefly development inhibition by, structure in relation to)
RN
     125421-93-4 CAPLUS
     Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2-
CN
     chloro-6-fluoro- (9CI) (CA INDEX NAME)
L18 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ΑN
     1990:531953 CAPLUS
DN
     113:131953
     Insect chitin formation inhibitors. III. Synthesis and activity of some
ΤI
     bis[3-(2,6-dihalobenzoyl)-1-ureido]bipyridines
ΑU
     Balicki, R.; Kaczmarek, L.; Sobotka, W.; Ejmocki, Z.
CS
     Inst. Org. Chem., Pol. Acad. Sci., Warsaw, PL-01-224, Pol.
SO
     Journal fuer Praktische Chemie (Leipzig) (1989), 331(6), 995-8
     CODEN: JPCEAO; ISSN: 0021-8383
DT
     Journal
LA
    English
OS
    CASREACT 113:131953
GΙ
     Eight title compds. I (R, R1 = C1, F) were prepared in 69-89\% yields by a
AB
     4-step procedure starting from nitriles II. I have significant activity
     against house-flies (no data).
ΙT
     125421-89-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN
     125421-89-8 CAPLUS
CN
     Benzamide, N, N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-
     dichloro- (9CI) (CA INDEX NAME)
L18 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
     1990:114123 CAPLUS
AN
     112:114123
DN
ΤI
     The effectiveness of benzoylphenylurea inhibitors of chitin biosynthesis
     against housefly (M. domestica L.) and cockroach (Blattella germanica L)
     Styczynska, Bogumila; Krzeminska, Alicja; Sobotka, Wieslaw; Balicki, Roman
ΑU
     Zakl. Zwalczania Skazen Biol., Panstw. Zakl. Hig., Pol.
CS
SO
     Roczniki Panstwowego Zakladu Higieny (1989), 40(1), 73-85
     CODEN: RPZHAW; ISSN: 0035-7715
DT
     Journal
LA
     Polish
GΙ
```

- AB Of 20 benzoylphenylureas, comprising 12 I (R = substituted Ph or pyridinyl) and 8 II (R = Cl or F), dietary administration of I (R = C6H4Cl-4) (III), I (R = 5-bromo-2-pyridinyl) (IV), and II (R = F) most effectively inhibited the development of housefly larvae. III also was highly effective against female imagoes, inhibiting the development of their offspring. I (R = C6H4F-4) (V), III, and IV were the most effective against cockroach larvae and imagoes. None of the 800 larvae treated with 0.001% V metamorphosed into imagoes. Treated adult females formed cocoons but no larvae hatched from them.
- IT 125421-89-8
 - RL: BIOL (Biological study) (chitin formation inhibitor, housefly and cockroach development response to)
- RN 125421-89-8 CAPLUS
- CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro-(9CI) (CA INDEX NAME)

=> FIL STNGU

=>





```
chain nodes :
1 2 3 4 12 13 21
ring nodes :
5 6 7 8 9 10 15 16 17 18 19 20
chain bonds :
1 - 12 \quad 1 - 2 \quad 2 - 3 \quad 2 - 4 \quad 3 - 13 \quad 3 - 16 \quad 5 - 21 \quad 19 - 21
ring bonds :
5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-2 2-3 2-4 3-16 5-21 19-21
exact bonds :
1-12 3-13
normalized bonds :
5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 15:
```

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

1816 ANSWERS

12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

=> s 11 ful

FULL SEARCH INITIATED 17:22:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3126 TO ITERATE

100.0% PROCESSED 3126 ITERATIONS

SEARCH TIME: 00.00.01

L2 1816 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 161.33 161.54

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FILE COVERS 1907 - 20 Jun 2005 VOL 142 ISS 26 FILE LAST UPDATED: 19 Jun 2005 (20050619/ED)

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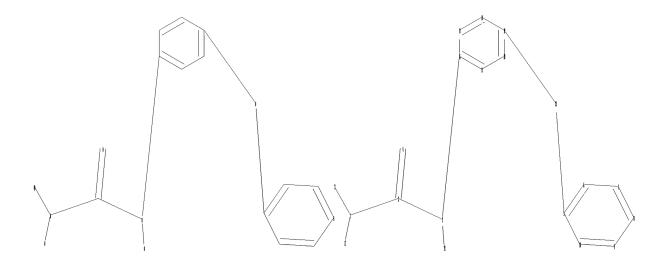
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 91 L2

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Uploading C:\Program Files\Stnexp\Queries\rkc446j.str



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chain nodes :
1 2 3 4 12 13 21 23
ring nodes :
5 6 7 8 9 10 15 16 17 18 19 20
chain bonds :
1-12 1-2 1-23 2-3 2-4 3-13 3-16 5-21 19-21
ring bonds :
5-10 \quad 5-6 \quad 6-7 \quad 7-8 \quad 8-9 \quad 9-10 \quad 15-16 \quad 15-20 \quad 16-17 \quad 17-18 \quad 18-19 \quad 19-20
exact/norm bonds :
1-2 1-23 2-3 2-4 3-16 5-21 19-21
exact bonds :
1-12 3-13
normalized bonds :
5-10 \quad 5-6 \quad 6-7 \quad 7-8 \quad 8-9 \quad 9-10 \quad 15-16 \quad 15-20 \quad 16-17 \quad 17-18 \quad 18-19 \quad 19-20
isolated ring systems :
containing 15:
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G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level:

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS 23:Atom Generic attributes :

214 ANSWERS

23:

Number of Carbon Atoms : 7 or more Type of Ring System : Polycyclic

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS

L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 14 ful

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 17:24:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3126 TO ITERATE

100.0% PROCESSED 3126 ITERATIONS

SEARCH TIME: 00.00.01

L5 214 SEA SSS FUL L4

L6 18 L5

=> d

- L6 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2005:395257 CAPLUS
- DN 142:447018
- TI Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases IN Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier,
- IN Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura, Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima, Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus; Hayashi, Fumihiko; Tsukimi, Yasuhiro; Gupta, Jang
- PA Bayer Healthcare Ag, Germany
- SO PCT Int. Appl., 149 pp. CODEN: PIXXD2

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DT
     Patent
LA
     English
FAN.CNT 1
                          KIND
                                  DATE
                                         APPLICATION NO.
                                                                        DATE
     PATENT NO.
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                          ____
                          A1 20050506 WO 2004-EP11008 20041002
     WO 2005040100
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              SN, TD, TG
PRAI EP 2003-23287
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     EP 2003-23288
                           Α
                                  20031015
     EP 2003-25572
                           Α
                                  20031108
     EP 2003-25573
                           Α
                                  20031108
RE.CNT 12
              THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> d 1-18 fbib abs fhitstr
     ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
1.6
     2005:395257 CAPLUS
AN
DN
     142:447018
ΤI
     Preparation of tetrahydronaphthalene and urea derivatives as VR1
     antagonists for the prophylaxis and treatment of diseases associated with
     VR1 activity, such as urological diseases, pain and inflammatory diseases
ΙN
     Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier,
     Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura,
     Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima,
     Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus;
     Hayashi, Fumihiko; Tsukimi, Yasuhiro; Gupta, Jang
PA
     Bayer Healthcare Ag, Germany
     PCT Int. Appl., 149 pp.
SO
     CODEN: PIXXD2
DT
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LA
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                                  DATE APPLICATION NO.
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                          A1 20050506 WO 2004-EP11008
     WO 2005040100
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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ΕP	2003-23288	A	20031015
ΕP	2003-25572	A	20031108
ΕP	2003-25573	A	20031108

GΙ

AΒ This invention relates to title compds. of formula A-NH-CO-E (I) [wherein A = 7-hydroxy-5, 6, 7, 8-tetrahydronaphthalen-1-yl, 5, 8dihydrotetranaphthalen-1-yl; indan-4-yl, inden-4-yl, etc.; E =cycloalkyl optionally fused by aryl, (un)substituted Ph, hetero/aryl, NH-(CH2)n-R4, etc.; n = 0-6; R4 = (un) substituted aryl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical prepns. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, reacting (6-Ethoxy-5,8-dihydronaphthalen-1-yl)amine (preparation given) with 4-Chloro-3-trifluoromethylbenzene isocyanate gave II. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca2+ influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the 1st assay had data). II showed an IC50 in the range of 0.1 to 0.6 μM in the 1st assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD). ΙT 851266-51-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tetrahydronaphthalene and urea derivs. as VR1 antagonists)

RN 851266-51-8 CAPLUS

CN Urea, N-[4-(4-pyridinyloxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN AN 2005:14200 CAPLUS

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DN
     142:86701
     Diaryl ureas for treatment of diseases mediated by PDGFR
ΤI
ΙN
     Wilhelm, Scott; Dumas, Jacques; Ladouceur, Gaetan; Lynch, Mark; Scott,
     William J.
PA
     Bayer Pharmaceuticals Corporation, USA
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
     Patent
DT
     English
LA
FAN.CNT 2
     PATENT NO.
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P 20040325
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- MARPAT 142:86701 OS
- The present invention provides methods for treating and/or preventing AΒ conditions and diseases in humans and other mammals that are associated with and/or mediated by signal transduction pathways comprising platelet-derived growth factor receptor (PDGFR), especially PDGFR- β , by administering diaryl ureas. The present invention also provides devices and methods for treating, ameliorating, preventing, or modulating restenosis following angioplastic surgery or other invasive procedures that affect or injure the vascular system, and graft rejection following transplantation of a donor tissue into a host, where a stent or other implantable device comprises an effective amount of diaryl ureas. For example, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-[2-(Nmethylcarbamoyl)-4-pyridyloxy]phenyl] urea, N-[4-chloro-3-(trifluoromethy1)pheny1]-N'-[4-[2-(N-methylcarbamoy1)-4-pyridyloxy]-2fluorophenyl] urea, and N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-chlorophenyl)phenyl]]methylcarbamoyl)-4-pyridyloxy]-2-chl orophenyl]urea showed an IC50 of less than 10 μM in a pPDGFR- β sandwich ELISA in AoSMC cells.
- 755037-04-8 ΙT
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (diaryl ureas for prevention and/or treatment of diseases mediated by platelet-derived growth factor receptor)
- RN 755037-04-8 CAPLUS
- Urea, N-[4-[(2-cyano-4-pyridiny1)oxy]-2-fluoropheny1]-N'-(2,2,4,4-CN tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)
- ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN L6

- ΑN 2004:756711 CAPLUS
- DN 141:277641
- ΤI Preparation of bicyclic (hetero)aryl- and pyridine-containing diaryl ureas as Raf kinase and angiogenesis inhibitors useful in the treatment of cancer and other disorders
- ΙN Dumas, Jacques; Boyer, Stephen; Verma, Sharad; Adnane, Lila; Chen, Yuanwei; Lee, Wendy; Phillips, Barton; Smith, Roger A.; Scott, William J.; Burke, Jennifer; Chen, Jianqing; Chen, Zhi; Fan, Jianmei; Miranda, Karl; Raudenbush, Brian; Redman, Aniko; Shao, Jianxing; Su, Ning; Wang, Gan; Yi, Lin; Zhu, Qingming
- PΑ Bayer Pharmaceuticals Corporation, USA
- SO PCT Int. Appl., 162 pp.
- CODEN: PIXXD2

- DТ Patent
- LA English
- FAN.CNT 4

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                                                            P 20030228
MARPAT 141:277641
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AΒ Title compds. I [wherein A = benzimidazolyl, 2,3-dihydro-1H-indolyl, 2,3-dihydro-1H-indenyl, 1H- or 2H-indazolyl, 1,3-benzodioxin-6-yl, quinoxalinyl, etc.; B = (un)substituted Ph, naphthyl, pyridinyl, quinolinyl; L = (CH2)m-D-(CH2)n; m, n = independently 0-4; D = 0, C(:0),NH and derivs., NHCO and derivs., S, CONH and derivs.; M = (un)substituted pyridine ring; Q = C(:0)H and derivs., CO2H and derivs., CONH2 and derivs.; and their pharmaceutically acceptable salts, prodrugs, and metabolites] were prepared as Raf kinase inhibitors for treating hyper-proliferative and angiogenesis disorders, alone or in combination with cytotoxic therapies. For example, urea II was prepared from 4-(4-Amino-3-fluorophenoxy)-N-methylpyridine-2-carboxamide (preparation given), triphosgene, 2-aminoquinoxaline, in the presence of DIPEA/anhydrous DMF at 75°. Selected I showed 80% inhibition of c-Raf kinase at 1 μ M. Thus, I are useful for treating cancer and other Raf kinase-mediated diseases.

IT 757249-67-5P, 4-[3-Fluoro-4-[[[(1-methyl-1H-indazol-5 yl)amino]carbonyl]amino]phenoxy]-N-methylpyridine-2-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(Raf kinase inhibitor; preparation of (hetero)aryl- and pyridine-containing diaryl ureas for treating cancer and other disorders)

RN 757249-67-5 CAPLUS

OS GI

CN 2-Pyridinecarboxamide, 4-[3-fluoro-4-[[[(1-methyl-1H-indazol-5-yl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

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L6
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     2004:756710 CAPLUS
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     141:277628
     Preparation of ureidophenoxycyanopyridines as anticancer drugs.
ΤI
     Scott, William J.; Dumas, Jacques; Boyer, Stephen; Lee, Wendy; Chen,
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     Yuanwei; Phillips, Barton; Verma, Sharad; Chen, Jianqing; Chen, Zhi; Fan,
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OS
     MARPAT 141:277628
GΙ
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Page 12

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Title compds. [I; A = (substituted) pyridinyl, naphthyl, 8-10 membered
AΒ
     bicyclic heteroaryl, heterocyclyl, carbocyclyl; B = (substituted)
     phenylene, naphthylenediyl; L = 0, S; m = 0-3; R2 = alkyl, haloalkyl,
     alkoxy, N-oxo, N-hydroxy], were prepared Thus, 2-trifluoromethyl-4-
     pyridylamine was stirred 20 h with carbonyldiimidazole in CH2Cl2;
     4-(4-amino-3-fluorophenoxy)pyridine-2-carbonitrile (preparation given) was
     added followed by stirring for 1 day to give 75% title compound (II). I
     inhibited c-RAF-1 kinase with IC50 = 7.86 nM to >1600 nM.
     755037-04-8P
ΤT
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     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
         (claimed compound; preparation of ureidophenoxycyanopyridines as anticancer
        drugs)
     755037-04-8 CAPLUS
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CN
     tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)
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               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
L6
     2004:756709 CAPLUS
ΑN
DN
     141:260780
TΙ
     Preparation of 2-oxo-1,3,5-perhydrotriazapine derivatives for treatment of
     hyper-proliferative, angiogenesis, and inflammatory disorders
     Boyer, Stephen; Dumas, Jacques; Phillips, Barton; Scott, William J.;
ΤN
     Smith, Roger A.; Chen, Jianging; James, Benjamin; Wang, Gan
     Bayer Pharmaceuticals Corporation, USA
PA
     PCT Int. Appl., 86 pp.
SO
     CODEN: PIXXD2
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OS
GΙ
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AB The title compds. I [A, B = 5-10 membered cyclic moieties which optionally substituted with 1-4 substituents selected from the group consisting of R1, OR1, NR1R2, etc.; L = a bridging group selected from -(CH2)m-O-(CH2)n-, -(CH2)m-(CH2)n-, -(CH2)m-C(O)-(CH2)n-, etc.; m, n = 0-4; M = Ph, naphthyl, 5- or 6- membered monocyclic heteroaryl consisting 1-3 heteroatoms selected from O, N, S, etc.; R1, R2 = H, alkyl, Ph, etc.] were prepared for treating hyper-proliferative and angiogenesis disorders. For example, reaction of 4-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-N-methyl-2-Pyridinecarboxamide with methylamine hydrochloride and formaldehyde furnished compound II. As prodrugs, compds. I will release diaryl ureas of the formula III when administrated.

CN Urea, N-[4-[(2-cyano-4-pyridinyl)oxy]-2-fluorophenyl]-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

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ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
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     141:277492
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     Preparation of pyridine-containing diaryl ureas useful in the treatment of
ΤI
     cancer and other disorders
ΙN
     Dumas, Jacques; Lee, Wendy; Chen, Yuanwei; Adnane, Lila; Scott, William
     J.; Verma, Sharad; Chen, Jianging; Chen, Zhi; Yi, Lin
PA
     Bayer Pharmaceuticals Corporation, USA
     PCT Int. Appl., 96 pp.
     CODEN: PIXXD2
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     Patent
LA
     English
FAN.CNT 4
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OS MARPAT 141:277492

GΙ

AB The title novel pyridine-containing diaryl ureas ANHC(0)NHBLMQ [A = (un)substituted Ph, naphthyl, heteroaryl, etc.; B = (un)substituted Ph, naphthyl, pyridyl; L = (CH2)mO(CH2)l, (CH2)m(CH2)l, (CH2)mC(O)(CH2)l, etc.; m, l = 0-4; M = (un)substituted pyridine; Q = tetrazolyl, imidazolyl, thiazolinyl, etc.], useful for treating hyper-proliferative and angiogenesis disorders, as a sole agent or in combination with cytotoxic therapies, were prepared and formulated. E.g., a multi-step synthesis of I, was given. IT 758709-45-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

 $\hbox{ (preparation of pyridine-containing diaryl ureas for treating cancer and other}\\$

disorders)

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    ]- (9CI) (CA INDEX NAME)
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L6
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    140:16736
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    Preparation of diarylurea derivatives useful for the treatment of protein
    kinase dependent diseases
    Floersheimer, Andreas; Furet, Pascal; Manley, Paul William; Bold, Guido;
IN
    Boss, Eugen; Guagnano, Vito; Vaupel, Andrea
    Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
PA
    PCT Int. Appl., 170 pp.
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                                                                  20030528
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
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OS MARPAT 140:16736

GI

GB 2002-12413

GB 2003-5684

GB 2003-9219

WO 2003-EP5634

A 20020529 A 20030312

A 20030423

W 20030528

AΒ The invention relates to the use of diaryl urea derivs. [I; G is not present and Z = a radical of the formula Q; A = CH, N, N \rightarrow O; A1 = N, N→O, with the proviso that not more than one of A and A1 can be $N \rightarrow 0$; n = 1, 2; m = 0-2; p = 0, 2, 3; q = 0-5; X = (un) substituted NH if p = 0; or if p is 2 or 3, X = nitrogen which together with (CH2)p and the bonds represented in dotted (interrupted) lines (including the atoms to which they are bound) forms a ring, or X = CHK (wherein K = H or lower alkyl) and p = 0, with the proviso that the bonds represented in dotted lines, if p = 0, are absent; Y1 = 0, S, CH2; Y2 = 0, S, NH; with the proviso that (Y1)n-(Y2)m does not include O-O, S-S, NH-O, NH-S or S-O groups; R1, R2, R3, R5 = independently H or an inorg. or organic moiety or any two of them together form a lower alkylenedioxy bridge bound via the oxygen atoms, and the remaining one of these moieties is hydrogen or an inorg. or organic moiety; R4 (if present, i.e., if q is not zero) is an inorg. or organic moiety] or tautomers thereof or pharmaceutically acceptable salts thereof in the treatment of protein kinase dependent diseases or for the manufacture of pharmaceutical compns. for use in the treatment of said diseases, especially a proliferative disease depending on any one or more of

the

following (tyrosine) protein kinases such as ras, Abl, VEGF-receptor tyrosine kinase, Flt3, and/or Bcr-Abl activity. Also disclosed are the use of the compds. I for the manufacture of pharmaceutical compns. for use in the treatment of said diseases, methods of use of the compds. I in the treatment of said diseases, pharmaceutical prepns. comprising the compds. I for the treatment of said diseases, processes for the manufacture of the compds. I, the use or methods of use of the compds. I as mentioned above, and/or the compds. I for use in the treatment of the animal or human body. For example, N-(4-(pyridin-4-yloxy)phenyl)-N'-(4-2,2,2-trifluoroethoxy-3-trifluoromethylphenyl)urea and N-[4-[6-(4-hydroxyphenylamino)pyrimidin-4-yl]phenyl]-N'-(4-2,2,2-trifluoroethoxy-3-trifluoromethylphenyl)urea at 10 μ M inhibited gene c-Abl protein kinase by 98%, Kdr receptor tyrosine kinase by 100 and 96%, resp., and Flt3 receptor tyrosine kinase by 100%. 630125-16-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylurea derivs. useful for the treatment of protein kinase dependent diseases and proliferative diseases)

RN 630125-16-5 CAPLUS

CN Urea, N-(2,3-dihydro-8-methoxy-1,4-benzodioxin-6-yl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

- L6 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:892752 CAPLUS
- DN 139:381385
- TI Preparation of quinoline derivatives as inhibitors of autophosphorylation of macrophage colony stimulating factor receptor
- IN Kubo, Kazuo; Ohno, Hiroaki; Isoe, Toshiyuki; Nishitoba, Tuyoshi
- PA Kirin Beer Kabushiki Kaisha, Japan

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SO
     PCT Int. Appl., 174 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                          KIND
                                   DATE
                                               APPLICATION NO.
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                           A1 20031113 WO 2003-JP5593
     WO 2003093238
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
              PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR,
              TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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              KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                                                                         20030501
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                                                JP 2002-130049 A 20020501
WO 2003-JP5593 W 20030501
     MARPAT 139:381385
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AB The title compds. I [wherein X = CH or N; Z = O or S; R1-R3 = independently H, halo, CN, alkyl, alkoxy, alkenyl, alkynyl, NO2, (un)substituted amino, hydroxy, CONH2, CO2H, or H2NCO2-, etc.; R4 = H; R5-R8 = independently H, halo, alkyl, alkoxy, alkylthio, CF3, NO2, or amino; R9 and R10 = independently H, alkyl, or alkylcarbonyl; R11 and R12 = independently H or alkyl, etc.; R13 = (hetero)cyclyl, etc.] and pharmaceutically acceptable salts or solvates thereof are prepared as inhibitors of the autophosphorylation of macrophage colony stimulating factor receptor. For example, 4-[(6,7-dimethoxy-4-quinolyl)oxy]aniline was treated with triphosgene in CHC13 in the presence of Et3N, followed by the addition of 1-(4-fluorophenyl)ethylamine to give the urea compound II (8%). II showed IC50 of 0.0024 μM against autophosphorylation of c-fms tyrosine kinase in cow.

IT 623142-65-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinoline derivs. as inhibitors of autophosphorylation of macrophage colony stimulating factor receptor) 623142-65-4 CAPLUS

RN 623142-65-4 CAPLUS
CN Urea, N-[(1S)-2,3-dihydro-1H-inden-1-yl]-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:874973 CAPLUS
- DN 139:364831
- TI Preparation of quinolyl, isoquinolyl or pyridyl ureas as inhibitors of raf kinase using
- IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;
 Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger,
 Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.
- PA Bayer Corporation, USA
- SO U.S. Pat. Appl. Publ., 26 pp. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2003207914	A1	20031106	US 2002-125369	20020419
				US 2001-367376P P	20010420

- OS MARPAT 139:364831
- AΒ Urea derivs. of general formula A-NHCONH-B, A'-CONH-B', and A''-NHCONH-B" or pharmaceutically acceptable salts thereof [wherein A = each (un) substituted tert-butylpyridyl, (trifluoromethyl) pyridyl, isopropylpyridyl, 2-methyl-2-butylpyridyl, or 3-methyl-3-pentylpyridyl; A' = each (un)substituted isoquinolinyl or isoquinolinyl; A" = substituted quinolinyl group; B, B' = independently, (un)substituted bridged cyclic structure of up to 30 carbon atoms of the formula -L-(ML1)q (wherein L comprises a cyclic moiety having at least 5 members and is bound directly to D; L1 comprises a cyclic moiety having at least 5 members; M is a bridging group having at least one atom, q is an integer of from 1-3, and each cyclic structure of L and L1 contains 0-4 members of the group consisting of nitrogen, oxygen and sulfur); B" = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with a cyclic structure bound directly to D containing at least 5 members with 0-4 members of the group consisting of nitrogen, oxygen and sulfur] are prepared These compds. are useful in treating raf-mediated diseases, in particular cancerous cell growth mediated by a raf kinase. All compds. exemplified, e.g. N-(4-tert-Butylpyridyl)-N'-(2,3-dichlorophenyl)urea, displayed IC50 of between 10 nM and 10 μM against ref kinase.
- IT 432050-22-1P, N-(2-Methoxy-3-quinoliny1)-N'-[4-[2-(N-Methylcarbamy1)-4-pyridyloxy]phenyl]urea
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 - (preparation of quinolyl, isoquinolyl or pyridyl ureas as inhibitors of raf kinase)
- RN 432050-22-1 CAPLUS
- CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

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ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
L6
     2002:850357 CAPLUS
ΑN
DN
     137:352907
ΤI
     Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf
     kinase for the treatment of tumors and/or cancerous cell growth
IN
     Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley
     N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,
     Timothy B.; Scott, William J.; Smith, Roger A.
     Bayer Corporation, USA
PA
SO
     U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548.
     CODEN: USXXCO
DT
     Patent
LA
     English
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                                             US 2001-777920 20010207

US 1999-115877P P 19990113

US 1999-257266 B2 19990225

US 1999-425228 B2 19991022

US 2001 759548 A2 20010112
     US 2002165394
                         A1
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PΙ
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                                                                 A2 20010112
     ZA 2001005751
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                                                                P 19990113
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                         A1 20000720 WO 2000-US768
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US 1999-257266 A2 19990225
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05 2	2002137774	A1	20020926		1999-115877P	Р	20010719 19990113
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ΡΙ	US 2002065296	A1 20020530	US 2001-838286 20010420 US 1999-115878P P 19990113 US 1999-257265 B1 19990225 US 1999-425229 A2 19991022 US 2001-778039 A2 20010207
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		A1 20040114 DE, DK, ES, FR, LV, FI, RO, MK,	EP 2002-725709 20020417 GB, GR, IT, LI, LU, NL, SE, MC, PT, CY, AL, TR US 2001-838286 A 20010420
	JP 2004537511	T2 20041216	WO 2002-US12064 W 20020417 JP 2002-583386 20020417 US 2001-838286 A 20010420 WO 2002-US12064 W 20020417
FAN	2002:615574 PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡΙ	WO 2002062763 WO 2002062763 W: AE, AG, AL, CO, CR, CU,	A2 20020815 A3 20021010 AM, AT, AU, AZ, CZ, DE, DK, DM,	WO 2002-US3361 20020207 BA, BB, BG, BR, BY, BZ, CA, CH, CN, DZ, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

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    MARPAT 137:352907
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AΒ
     Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un)substituted pyridyl,
     quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 =
     substituted cyclic moiety having at least 5 members; M = bridging group
     having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4
     hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable
     salts were prepared For example, coupling of aniline II, e.g., prepared from
     Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate
     followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase
     assays, 112-specific examples of compds. I inhibited kinase activity with
     IC50 values ranging from 10 nM-10 \mu M. Compds. I are useful for the
     treatment of cancerous cell growth mediated by raf kinase.
     432050-22-1P
ΙT
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (drug candidate; preparation of quinolyl, isoquinolyl or pyridyl-ureas as
        inhibitors of raf kinase)
RN
     432050-22-1 CAPLUS
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     2-Pyridinecarboxamide, 4-[4-[[(2-methoxy-3-quinoliny1)amino]carbony1]amin
     o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)
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L6
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ΑN
DN
     137:337791
     Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf
ΤI
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     Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger,
     Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.
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     Bayer Corporation, USA
     PCT Int. Appl., 65 pp.
     CODEN: PIXXD2
DT
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English

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                                                                20010420
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OS MARPAT 137:337791

- AB Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl, etc.; B = (un)substituted bridged cyclic structure, etc.] and analogs were prepared For instance, 4-tert-butyl-2-aminopyridine was coupled to 4-(4-pyridylmethyl)aniline (CH2Cl2, CDI, 0°) to give N-(4-tert-butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea as a white solid. Example compds. had IC50 between 10nM and 10 μ M for raf kinase. I are useful for the treatment of cancerous cell growth mediated by raf kinase.
- IT 432050-22-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

- L6 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2002:615574 CAPLUS
- DN 137:169425
- TI Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors
- IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert
 N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,
 Timothy B.; Scott, William J.; Smith, Roger A.
- PA Bayer Corporation, USA

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SO
     PCT Int. Appl., 125 pp.
     CODEN: PIXXD2
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US 1999-425228 B2 19991022

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US 1999-257266 B2 19990225
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US 1999-425228 B1 19991022 US 2001-948915 A1 20010910

OS MARPAT 137:169425

GΙ

AB Title compds., e.g., RNHCONHZOR1 [I; R = C6H4(CMe3)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R1 = (un)substituted acylphenyl, -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were prepared Thus, 4-(H2N)C6H4OC6H4(CONHMe)-4 (preparation given) was condensed with

3-(Me3C)C6H4NH2 and CO(OCCl3)2 to give title compound II. Data for biolactivity of title compds. were given.

IT 432050-22-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
inhibitors)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

- L6 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2002:409267 CAPLUS
- DN 137:6098
- TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors
- IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;
 Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger,
 Timotthy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.
- PA Bayer Corporation, USA
- SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 778,039. CODEN: USXXCO
- DT Patent
- LA English
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B1 19991022
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PI	WO 2000042012 W: AE, AL, AM, CZ, DE, DK, IN, IS, JP, MD, MG, MK, SK, SL, TJ, AZ, BY, KG, RW: GH, GM, KE, DK, ES, FI,	A1 20000720 AT, AU, AZ, BA, DM, EE, ES, FI, KE, KG, KP, KR, MN, MW, MX, NO, TM, TR, TT, TZ, KZ, MD, RU, TJ, LS, MW, SD, SL, FR, GB, GR, IE,	WO 2000-US648 BB, BG, BR, BY, CA, GB, GD, GE, GH, GM, KZ, LC, LK, LR, LS, NZ, PL, PT, RO, RU, UA, UG, US, UZ, VN, TM SZ, TZ, UG, ZW, AT, IT, LU, MC, NL, PT, MR, NE, SN, TD, TG US 1999-115877P	20000112 CH, CN, CR, CU, HR, HU, ID, IL, LT, LU, LV, MA, SD, SE, SG, SI, YU, ZA, ZW, AM, BE, CH, CY, DE,
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             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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     US 2003139605
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                                                                B1 19991022
                                            US 2001-948915
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OS MARPAT 137:6098

AB This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea) containing N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinolinyl or isoquinolinyl group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 50 C atoms with a cyclic structure bound directly to N, containing at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of preparation are not claimed, 37 example prepns. are included as well as examples of preparation of intermediates. No pharmacol. data is included.

IT 432050-22-1P, N-(2-Methoxy-3-quinoliny1)-N'-[4-(2-(N-Methylcarbamy1)-4-pyridyloxy)phenyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase

inhibitors)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

- L6 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2002:314913 CAPLUS
- DN 136:340689
- TI Preparation of urea derivatives containing nitrogenous aromatic ring compounds as inhibitors of angiogenesis
- IN Funahashi, Yasuhiro; Tsuruoka, Akihiko; Matsukura, Masayuki; Haneda, Toru; Fukuda, Yoshio; Kamata, Junichi; Takahashi, Keiko; Matsushima, Tomohiro; Miyazaki, Kazuki; Nomoto, Kenichi; Watanabe, Tatsuo; Obaishi, Hiroshi; Yamaguchi, Atsumi; Suzuki, Sachi; Nakamura, Katsuji; Mimura, Fusayo; Yamamoto, Yuji; Matsui, Junji; Matsui, Kenji; Yoshiba, Takako; Suzuki, Yasuyuki; Arimoto, Itaru
- PA Eisai Co., Ltd., Japan
- SO PCT Int. Appl., 699 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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	EP	1415987			A1		2004	0506		WO 2	001-	JP92	21	Ī	₩ 2	0011	019	

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                                       WO 2001-JP9221
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                                       JP 2000-386195
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                                       WO 2001-JP9221
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ZA 2003003567
                    Α
                                       JP 2000-320420
                                                          A 20001020
MARPAT 136:340689
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OS

GΙ

AB N-aryl or N-heteroarylurea derivs. represented by the general formula Ag-Xg-Yg-Tg1 or salts thereof, or hydrates of both [wherein Ag =(un) substituted C6-14 aryl or 5- to 14-membered heterocyclic group; Xg =single bond, O, S, C1-6 alkylene, SO, SO2, (un)substituted NH; Yg = (un) substituted C6-14 aryl, 5- to 14-membered heterocyclic group, C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl-C1-6 alkyl, 5- to 14-membered heteroaryl-C1-6 alkyl, (CH2)gSO2 (g = 1-8), (CH2) faCH: CH(CH2) fb (fa, fb = 0, 1, 2, 3), etc.; and Tg1 = a group of the general formula -Eq-CO-NRq1(Zq) or Q; wherein Eq = a single bond, (un) substituted NH; Rg1 = H, (un) substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 aliphatic hydrocarbyl, etc.; Zg = C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl, etc.; Zg1, Zg2 = (a) a single bond, (b) C1-6 alkylene optionally having ≥1 atoms selected from O, S, and N in the middle or the terminus of the chain and optionally substituted with oxo, (c) (un)substituted C2-6 alkenyl] are prepared These compds. are also inhibitors of vascular endothelial growth factor receptor kinase (VEGFR2 kinase) and are useful as antitumor agents against hemangioma, pancreatic

cancer, stomach cancer, colon cancer, breast cancer, prostate cancer, lung cancer, brain tumor, leukemia, or ovarian cancer, as cancer metastasis inhibitors, and for the treatment of retina neovascularization, diabetic retinopathy, atherosclerosis, or inflammatory diseases such as osteoarthritis, rheumatoid arthritis, psoriasis, or delayed hypersensitivity. Thus, to solution of 334 mg 4-[6-(4-benzyloxyphenyl)-7-(2trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2chlorophenylamine in 4 mL DMF were added 0.066 mL pyridine and 0.102 mL Ph chlorocarbonate and stirred at room temperature for 2.5 h to give 330 mg N-[4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7Hpyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea which (260 mg) was hydrogenolyzed over platinum oxide in ethanol overnight to give 160 mg N-[4-[6-(4-hydroxypheny1)-7-(2-trimethylsilylethoxymethyl)-7Hpyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea (I). I showed IC50 of 0.02 nM for inhibiting the vascular endothelial growth factor (VEGF)-stimulated sandwich tube formation in vascular endothelial cell.

IT 417713-68-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of urea derivs. containing nitrogenous aromatic ring compds. as angiogenesis inhibitors for prevention or treatment of diseases)

RN 417713-68-9 CAPLUS

CN Urea, N-1H-benzimidazol-2-yl-N'-[4-[[6-cyano-7-(2-methoxyethoxy)-4-quinolinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2000:513673 CAPLUS
- DN 133:135235
- TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines
- IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki
- PA Kirin Beer Kabushiki Kaisha, Japan
- SO PCT Int. Appl., 208 pp.
 - CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN.CNT 1

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					WO 2000-JP255	W	
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	IE, FI		•				
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					JP 1999-26691	А	19990203
					JP 1999-142493	A	19990521
					JP 1999-253624	А	19990907

ΑIJ	771504	В2	20040325	EP AU	2000-900841 2000-30748	A3	20000120 20000120
-				JΡ	1999-14858	Α	19990122
				JР	1999-26691	Α	19990203
				JР	1999-142493	Α	19990521
				JΡ	1999-253624	Α	19990907
				WO	2000-JP255	W	20000120
JP	3519368	B2	20040412	JΡ	2000-594782		20000120
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				JΡ	1999-26691	Α	19990203
				JΡ	1999-142493	Α	19990521
				JΡ	1999-253624	Α	19990907
				WO	2000-JP255	W	20000120
ES	2208261	Т3	20040616	ES	2000-900841		20000120
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NO	2001002617	A	20010914	ИО	2001-2617		20010529
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				JP	1999-26691	Α	19990203
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				JP	1999-253624	A	19990907
	5-5-5-6-6			WO	2000-JP255	W	20000120
US	6797823	B1	20040928	US	2001-889858	_	20010723
				JP	1999-14858	A	19990122
				JP	1999-26691	A	19990203
				JP	1999-142493	A	19990521
				JP	1999-253624	A	19990907
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US	2004209905	A1	20041021	US JP	2004-842009	70	20040510
				JP	1999-14858 1999-26691	A A	19990122 19990203
				JP	1999-26691	A	19990203
				JP	1999-142493	A	19990321
				WO		W	20000120
				US	2000-37233	M A3	20010723
				OD.	2001 007000	43	20010123

OS MARPAT 133:135235

GΙ

IT 286369-76-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. containing the same are prepared and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compound I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepared and tested.

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antitumor activity of quinolines and quinazolines) 286369-76-4 CAPLUS RN CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-1naphthalenyl- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN L6

1999:425745 CAPLUS AN

DN 131:87909

- Inhibition of p38 kinase activity using substituted heterocyclic ureas ΤI
- Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; ΤN Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko
- PABayer Corporation, USA
- SO PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DTPatent

LA English

FAN.CNT 1

11114.	PATENT NO.					KIND DATE					APPL	ICAT	ION :	NO.	DATE					
ΡΙ	WO		AL, DK, KE, MW, TR, GH,	AM, EE, KG, MX, TT, GM, FR,	AT, ES, KP, NO, UA, KE, GB,	AU, FI, KR, NZ, UG, LS, GR,	AZ, GB, KZ, PL, UZ, MW, IE,	1999 BA, GD, LC, PT, VN, SD, IT, MR,	BB, GE, LK, RO, YU, SZ, LU,	BG, GH, LR, RU, ZW, UG, MC,	BR, GM, LS, SD, AM, ZW, NL,	BY, HR, LT, SE, AZ, AT, PT,	CA, HU, LU, SG, BY, BE,	CH, ID, LV, SI, KG, CH,	CN, IL, MD, SK, KZ, CY,	CU, IN, MG, SL, MD, DE,	CZ, IS, MK, TJ, RU, DK,	DE, JP, MN, TM, TJ, ES,	TM	
	CA	CA 2315720 AU 9919971		•	AA 19990701				•	US 1 CA 1 US 1	997- 998- 997-	2315 9957	720 50	A 19971222 19981222 A 19971222 W 19981222						
				A1 B2		1999 2001			AU 1999-19971 US 1997-995750											
	EP	1041 R:	AT,	BE,	CH,		DK,	ES,		GB,	EP 1 GR,	998- IT,	9647 LI,	09 LU,	NL,	1	9981 MC,	222 PT,		
0.0		P 2001526223					T2 20011218				US 1997-995750 WO 1998-US26080 JP 2000-525102 US 1997-995750 WO 1998-US26080				W 19981222 19981222 A 19971222					

MARPAT 131:87909 OS

GΙ

- AB A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted isoxazolyl, pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing ≥ 1 5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-(4-pyridinylthio)aniline with 3-tert-butyl-5-isoxazolyl isocyanate in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC50 values of 1-10 μ M.
- IT 229155-61-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted heterocyclic ureas for treatment of p38 kinase-mediated diseases other than cancer)

RN 229155-61-7 CAPLUS

CN Urea, N-(6-chloro-1H-indazol-3-yl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999:421642 CAPLUS
- DN 131:58658
- TI Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas
- IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger,
 Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood,
 Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming
- PA Bayer Corporation, USA
- SO PCT Int. Appl., 89 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.					KIND DATE					APPI	ICAT	ION 1	DATE					
ΡI	WO	9932436		A1 19990701			0701		WO 1	 -998-	 US26	19981222							
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			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
			ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	
			TR,	TT,	UA,	UG,	UΖ,	VN,	YU,	ZW,	ΑM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	
			FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	
			CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG							
								US 1	997-	9963	44	ž	A 19971222						
	CA	A 2315646			AA 1999			0701	CA 1998-2315646					19981222					
							US 1997-996344				i	A 19971222							
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	AU	7630	24			B2 20030		0710											
											US 1	997-	9963	44	i	A 1	9971:	222	
											WO 1	998-	US26	081	1	W 1	9981	222	

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		·	·	·	·	·				US	199	7-	9963	44		A	19	971	222
										WO	199	8-1	US26	081		W	19	981	222
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JР	2001	5262	58		Т2		2001	1218						73				981	
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					_									081		W		981	
BR	9814	375			A		2002	0521						5				981	
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NΖ	5058	43			А		2003	0630						43				981	
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										WO	199	8-1	US26	081		W	19	981	222
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														44		A		971	
			-06-	0						WO	199	8-1	uS26	081		W	19	981	222

OS MARPAT 131:58658

GΙ

AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A = certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepared For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compound II. In an in vitro raf kinase assay, all compds. displayed IC50 values between 1 nM and 10 μM .

IT 228400-71-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sym. and unsym. substituted di-Ph ureas with inhibitory effects on tumors mediated by raf kinase)

RN 228400-71-3 CAPLUS

CN Urea, N-(3-methoxy-2-naphthalenyl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1997:414195 CAPLUS
- DN 127:34137
- TI Preparation of quinoline and quinazoline derivatives inhibiting platelet-derived growth factor receptor autophosphorylation
- IN Kubo, Kazuo; Ohyama, Shinichi; Shimizu, Toshiyuki; Nishitoba, Tsuyoshi; Kato, Shinichiro; Murooka, Hideko; Kobayashi, Yoshiko; et al.
- PA Kirin Beer Kabushiki Kaisha, Japan
- SO PCT Int. Appl., 243 pp.

CODEN: PIXXD2

- DT Patent
- LA Japanese

FAN.CNT 1

1 2314 •		CENT 1	NO.			KIN	D	DATE									Ε	ATE	
ΡI	WO	9717:						1997			WO	19	96-	-	29			9961	
		₩:																CZ,	
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																		PT, VN,	
								RU,			11	`,	1 1 <i>,</i>	UA,	00,	05,	04,	V 1V ,	A1.1,
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					•	·					JΡ	19	95-3	3135	55		A 1	9951	107
											JΡ	19	996-6	6212	1		A 1	9960	223
	AU 9673400			A1		1997	0529		AU	19	996-	7340	О		1	9961	105		
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		8604				A1		1998			EΡ	Τ2	996-	9355	4 1		1	9961	105
	EР	8604				B1 GB,		2002	0/03										
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															29			9961	
	TW	48389	91			В		2002	0421						3529			9961	
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	US	6143	764			Α		2000	1107		US	19	998-6	6866	C		1	9980	506
															55			9951	
											_				1			9960	
											WO	19	996-	JP32:	29	1	W 1	9961	105

OS MARPAT 127:34137

GI

AB The title compds. I [R1 and R2 represent each H or C1-4 alkyl, or R1 and R2 together form C1 to C3 alkylene; X represents O, S or CH2; W represents CH or N; and Q represents substituted aryl or substituted heteroaryl] are prepared I inhibit platelet-derived growth factor receptor autophosphorylation and are useful in the treatment of cancer, arthritis, etc. The title compound II (preparation given) (at 100 mg/kg i.p. once daily for

9 days) increased the survival of mice with transplanted leukemic P388 cells by 130%.

IT 190727-25-4P

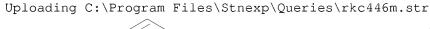
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

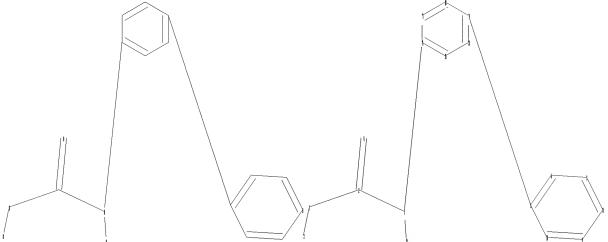
(preparation of quinoline and quinazoline derivs. inhibiting platelet-derived growth factor receptor autophosphorylation)

RN 190727-25-4 CAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-1-naphthalenyl-(9CI) (CA INDEX NAME)

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chain nodes :
1 2 3 4 12 13
ring nodes :
5 6 7 8 9 10 15 16 17 18 19 20
chain bonds :
1-12 1-2 2-3 2-4 3-13 3-16 5-19
ring bonds :
5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-2 2-3 2-4 3-16
exact bonds :
1-12 3-13 5-19
normalized bonds :
5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 15:
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G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

L1 STRUCTURE UPLOADED

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LD IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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FULL SEARCH INITIATED 16:27:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 662 TO ITERATE

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32 ANSWERS

SEARCH TIME: 00.00.01

L2 32 SEA SSS FUL L1

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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 161.33 161.54

FULL ESTIMATED COST

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FILE COVERS 1907 - 22 Jun 2005 VOL 142 ISS 26 FILE LAST UPDATED: 21 Jun 2005 (20050621/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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ΑN
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     139:261291
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ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

2003:737759 CAPLUS

- Preparation of condensed heterocyclic compounds such as 5-oxo-7,8,9,9a-tetrahydro-5H-pyrido[2,3-a]pyrrolizine derivatives as calcitonin agonists
- Bhandari, Ashok; Boros, Eric Eugene; Cowan, David John; Handlon, Anthony Louis; Hyman, Clifton Earl; Oplinger, Jeffrey Alan; Rabinowitz, Michael Howard; Turnbull, Philip Stewart
- Smithkline Beecham Corporation, USA PA
- SO PCT Int. Appl., 174 pp. CODEN: PIXXD2
- DTPatent
- LAEnglish

FAN. CNT 1

r AIN.		ENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
ΡI	WO	2003	0764	40		A1	_	2003	0918	•	 WO 2	 003-	US56	 05		2	0030	224
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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
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			ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	$\mathrm{ML}_{,}$	MR,	NE,	SN,	TD,	TG	
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OS MARPAT 139:261291

GΙ

The title compds. [I; R = each (un) substituted aryl, heteroaryl, alkyl, or AB cycloalkyl, further wherein said aryl, heteroaryl, alkyl, or cycloalkyl; Z = H, alkyl, halogen, CO2R5, CON(R5)2, CONHN(R5)2, NHCON(R5)2, SO2N(R5)2, CH2NHCOR5, NO2, N(R5)2, NHCOR5, N(R5)SO2N(R5)2, OR5, CH2N(R5)2, CH2CON(R5)2, CH2CO2R5, (un)substituted heteroaryl; R5 = independently H, alkyl, trifluoromethyl, each (un)substituted aryl, heteroaryl, aralkyl, heteroaralkyl, cycloalkyl, heterocyclyl, fused cycloalkylaryl, or fused heterocyclylaryl; R1 = H, alkyl, CO2R5, COR5, CON(R5)2, cyano, NO2, N(R5)2, SO2R5, SO2N(R5)2, NHCOR5, NHCON(R5)2; R2 = alky1, CF3, alkoxy, aryl, heteroaryl, aralkyl, heteroaralkyl, alkoxyaryl, further wherein said alkyl, aryl, heteroaryl, aralkyl, and heteroaralkyl may be substituted with one or more of halogen, CF3, or alkoxy; or R1 and R2 combine to form a 5- or 6-membered ring, optionally containing one or more heteroatom, optionally containing one or more degrees of unsatn., and optionally substituted one or more times with oxo, hydroxy, halogen, alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, further wherein said alkyl, aryl, heteroaryl, aralkyl, and heteroaralkyl may be substituted with one or more of halogen, CF3, or alkoxy; A = C, N; Y = C, N; X = S, O, N(R5), C(R5)2, SO2; n = 1, 2, 3, or 4], salts, solvates, and pharmaceutically functional derivs. thereof are prepared These compds. are useful in the treatment and prevention of diseases or conditions which are related to irregular calcification or those mediated by calcitonin. They are used in therapies for osteopenia and osteoporosis in men and women; reduction in the risk of fractures, both vertebral and nonvertebral; Paget's disease; bone fracture or deficiency; primary or secondary hyperparathyroidism; periodontal disease or defect; metastatic bone disorder; osteolytic bone disease; post-plastic surgery; post-prosthetic joint surgery; postdental implantation; hypercalcemia; bone pain, general pain, and hyperalgesia; conditions associated with inhibiting gastric secretion; gastrointestinal disorders; osteoarthritis and rheumatoid arthritis; renal osteodystrophy; obesity by induction of satiety; and male infertility. Thus, 4-[3-(Ethoxycarbony1)-2-[2-(4-fluoropheny1)ethy1]-5-oxo-8,9-dihydro-5H,7Hpyrazolo[1'2':1,2]pyrazolo[3,4-b]pyridin-4-yl]benzoic acid was condensed with furfurylamine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT-H2O in DMF at room temperature for 4 h to give 2-[2-(4-fluorophenyl)ethyl]-4-[4-[((2-furylmethyl)amino]carbonyl]phenyl]-5oxo-8,9-dihydro-5H,7H-pyrazolo[1',2':1,2]pyrazolo[3,4-b]pyridine-3carboxylate (II). In an CRE-luciferase reporter assay, II activated the human calcitonin-2 receptor (HCT2R) expressed in CHO-6CRE-luciferase cells with E50 of ≤ 10 nM.

IT 603998-38-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of condensed heterocyclic compds. such as $5-\infty$ 0-7,8,9,9a-tetrahydro-5H-pyrido[2,3-a]pyrrolizine derivs. as calcitonin agonists for drugs)

RN 603998-38-5 CAPLUS

CN 5H-Pyrido[2,3-a]pyrrolizine-3-carboxylic acid, 7,8,9,9a-tetrahydro-5-oxo-4[4-[[(phenylamino)carbonyl]amino]phenyl]-2-[2-[4(trifluoromethyl)phenyl]ethyl]-, ethyl ester, (9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L3 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2000:479146 CAPLUS
- DN 133:350031
- TI Synthesis of 1,1'-polymethylenebis-(3-substituted) ureas and related compounds of potential biological interest
- AU Yonova, P. A.; Ionov, I. P.
- CS Acad. M. Popov Institute of Plant Physiology, Bulgarian Academy of

- Sciences, Sofia, 1113, Bulg.
- SO Dokladi na Bulgarskata Akademiya na Naukite (1999), 52(3-4), 53-56 CODEN: DBANEH; ISSN: 0861-1459
- PB Bulgarska Akademiya na Naukite
- DT Journal
- LA English
- OS CASREACT 133:350031
- AB RNHCONH(CH2)nNHCONHR [I, R = Ph, 3-FC6H4, 4-FC6H4, 3-C1C6H4, 4-C1C6H4, n = 2-6; R = 2-thiazolyl, 4-pyridyl, 4-picolyl, 3,5-dichloro-4-pyridyl, n = 6] were pred. from RNCO and H2N(CH2)nNH2 or from RNH2 and H2N(CH2)6NH2. I have antisenescence activity comparable to that of PhNHCONHPh and putrescine.
- IT 306326-84-1P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 - (preparation of polymethylenebis(arylureas) as senescence inhibitors)
- RN 306326-84-1 CAPLUS
- CN Urea, N,N''-1,6-hexanediylbis[N'-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)
- RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999:404951 CAPLUS
- DN 131:58850
- TI Preparation of quinolinepiperazine and quinolinepiperidine derivatives and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists
- IN Gaster, Laramie Mary
- PA Smithkline Beecham Plc, UK
- SO PCT Int. Appl., 60 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENI	NO.			KIN	D	DATE			APP	LICAT	ION :	NO.		I	DATE	
ΡI	WO 993	1086 CA,	.TP.	IIS	A1	_	1999	0624		WO	1998-	EP78	04		-	L9981	202
		: AT,	•		CY,	DE,	, DK,	ES,	FI,	FR	R, GB,	GR,	IE,	IT,	LU,	MC,	NL,
		•								GB	1997-	2636	4	Z	Α :	19971	212
										GB	1997-	2690	5	Z	Α :	19971	219
										GB	1998-	317		Ī	Α .	L9980	107
	CA 231	3125			AA		1999	0624		CA	1998-	2313	125		-	19981	202
										GB	1997-	2636	4	Ā	Α .	L9971	212
										GB	1997-	2690	5	Ā	Α .	L9971	219
										GB	1998-	317		Ā	Α .	L9980	107
										WO	1998-	EP78	0.4	I	N :	19981	202
	EP 104	7691			A1		2000	1102		EP	1998-	9657	29		-	19981	202
	R:	BE,	CH,	DE,	ES,	FR	, GB,	ΙΤ,	LI,	NL	ı						
										GB	1997-	2636	4	Ā	A .	L9971	212
										GB	1997-	2690	5	Ā	A :	19971	219

JP 2002508366	Т2	20020319	WO	1998-317 1998-EP7804 2000-539010	A W	19980107 19981202 19981202
01 10010000			GB	1997-26364 1997-26905	A A	19971212 19971219
				1998-317 1998-EP7804	A W	19980107 19981202

OS MARPAT 131:58850

GΙ

AΒ The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl, etc.; L = YC(0)DG, C(0)DG, DGC(0) in which Y is -NH-, NR5 where R5 is C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G together with Rb1 forms a group W where W is (CR16R17)t where t is 2, 3 or 4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is (CR16R17)u-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17, CR16:N, :CR160, :CR16S or :CR16NR17 provided that u is not 0 when J is oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were prepared E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4yl)naphth-1-yl]urea was prepared Some examples of I had pKi values > 8.5 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

IT 227955-65-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolinepiperazine and quinolinepiperidine derivs. and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 227955-65-9 CAPLUS

CN Urea, N-[3-chloro-4-(4-pyridinyl)phenyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999:126896 CAPLUS
- DN 130:182356
- TI Preparation of bicyclic compounds as ligands for 5-HT1 receptors
- IN Gaster, Laramie Mary; Wyman, Paul Adrian; Flynn, Sean Thomas
- PA SmithKline Beecham PLC, UK
- SO PCT Int. Appl., 32 pp.
- CODEN: PIXXD2
 DT Patent
- LA English
- FAN.CNT 1

	PA:	TENT :	NO.			KIN	D	DATE			API	PLI	CAT	ION	NO.			DATE	
ΡI	WO	9907					_	1999	0218		WO	19	98-	 EP51	16			19980	806
							DE,	DK,	ES,	FI,	. FI	R,	GB,	GR,	IE,	IT,	LU	, MC,	NL,
																		19970	
											GB	19	98-	1633			A	19980	
	CA	2299	286			AA		1999	0218		CA	19	998-	2299	286			19980	
																		19970	
											GB	19	98-	1633			A	19980	126
											WO	19	98-	EP51	16	1	M	19980	806
		EP 1003738									EP	19	98-	9463	22			19980	806
	EP 1003738																		
	R: BE, CH, DI			DE,	ES,	FR,	GB,	ΙT,	LI,										
																		19970	
																		19980	
											WO	19	98-	EP51	16	1		19980	
	JP	2001	5127	27		Т2		2001	0828		-	_		5062	-			19980	
											GB	19	97-	1680	4		A	19970	809
														1633				19980	126
														EP51				19980	806
	US	6391	891			В1		2002	0521		US	20	000-	4637	0 4			20000	126
											GB	19	97-	1680	4		A	19970	809
											GB	19	98-	1633			A	19980	126
											WO	19	98-	EP51	16	1	W	19980	806
OS GI	MAI	RPAT	130:	1823	56														

AΒ The title compds. [I; R11 = II (wherein P1 = Ph, bicyclic aryl, 5-7membered heterocyclyl containing 1-3 heteroatoms selected from O, N and S, etc.; R1 = H, halo, C1-6 alkyl, etc.; R2 = H, halo, C1-6 alkyl, etc.; a = 1-3), III (P2, P3 = P1; A = a bond, O, SOm (m = 0-2), etc.; R3 = R2; a, b = 1-3); L = YC(:V)DG (Y = NH, N(C1-6 alkyl), CH2, O; V = O, S; D = N, C, CH; G = H, C1-6 alkyl); Q = (un) substituted 5-7 membered carbocyclic or heterocyclic ring containing 1-3 heteroatoms selected from O, N or S; R13 = 5-7 membered carbocyclic or heterocyclic ring containing 1-3 heteroatoms selected from O, N or S; R12 = H, halo, OH, etc.], useful in the treatment of CNS disorders, e.g., anxiety and depression, were prepared Thus, ${\tt treatment\ of\ 4-(pyridin-4-yl)\,naphth-1-ylamine\ with\ triphosgene\ in\ CH2Cl2}$ in the presence of Et3N followed by the addition of 5-amino-3-(1methylpiperidin-4-yl)-1H-indole afforded the urea IV which showed pKi of > 8.0 at 5-HT1A, 5-HT1B and 5-HT1D receptors. 220683-76-1P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic compds. as ligands for 5-HT1 receptors)

RN 220683-76-1 CAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- ΑN 1998:745020 CAPLUS
- DN 130:13850
- ΤI Preparation of arylacetamide and arylurea derivatives as 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists.
- ΙN Gaster, Laramie Mary; Wyman, Paul Adrian
- Smithkline Beecham PLC, UK PA
- SO PCT Int. Appl., 73 pp.
- CODEN: PIXXD2 DT Patent
- LA English

БУИ	.CNT	1
$_{LMJ}$	·CMT	

	PAT	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
PI	-	9850 9850	-			A2 A3		1998 1999		1	WO 1	998-1	EP22	63		1	9980	414
		₩: RW:	DK, KP, NO, UA, GH, FI,	EE, KR, NZ, UG, GM, FR,	ES, KZ, PL, US, KE, GB,	FI, LC, PT, UZ, LS, GR,	GB, LK, RO, VN, MW, IE,	BA, GE, LR, RU, YU, SD, IT, NE,	GH, LS, SD, ZW, SZ, LU,	GM, LT, SE, AM, UG, MC, TD,	GW, LU, SG, AZ, ZW, NL, TG	HU, LV, SI, BY, AT,	ID, MD, SK, KG, BE, SE,	IL, MG, SL, KZ, CH, BF,	IS, MK, TJ, MD, CY, BJ,	JP, MN, TM, RU, DE, CF,	KE, MW, TR, TJ, DK,	KG, MX, TT, TM ES, CI,
	AU 9875267 ZA 9803243				A1 A		1998 1999		(GB 1 AU 1 GB 1 GB 1 WO 1	998-: 998-: 997-: 998-: 998-:	1632 7526 7874 1632 EP22	7	1	A 1 A 1 A 1 A 1	9980 9980 9970 9980 9980	126 414 418 126 414	
										(GB 1	997-	7874			A 1	9970	418

OS MARPAT 130:13850

GI

Title compds. [I; Ra = R1(R2)aP1, R1(R2)aP3AP2(R3)b; A = bond, O, S, SO, AΒ SO2, CO, NR4; R4 = H, alkyl; R1 = H, halo, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, OH, hydroxyalkyl, hydroxyalkoxy, alkoxyalkoxy, NO2, CF3, cyano, etc.; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO2, CF3, cyano, etc.; a, b = 1-3; n = 0-4; P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, bicyclic heterocyclyl; L = YC(:V)DG; V = O, S; Y = NH, NR5 CH2, O; R5 = alkyl; D = CH2N, C, CH; G = H, alkyl, etc.; B = CH2, O, S, SO, SO2, NR6, CR7:CR8; R6-R8, Rc, Rd = H, alkyl; Ry = 5-7 membered heterocyclyl, NReRf; Re, Rf = H, alkyl, aralkyl; Rb1, Rb2 = H, halo, OH, alkyl, CF3, alkoxy, aryl; Rb1G = atoms to form specified rings], were prepared Thus, N-[3-(2dimethylaminoethoxy)-4-iodophenyl]-4-bromophenylacetamide [prepared from

4-bromophenylactic acid and 3-(2-dimethylaminoethoxy)-4-iodoaniline] showed pKi >8.0 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

IT 215950-57-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylacetamide and arylurea derivs. as 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 215950-57-5 CAPLUS

CN Urea, N-[3-[2-(dimethylamino)ethoxy]-4-iodophenyl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

- L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1998:709049 CAPLUS
- DN 129:330648
- TI Preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists.
- IN Gaster, Laramie Mary; Wyman, Paul Adrian
- PA Smithkline Beecham PLC, UK
- SO PCT Int. Appl., 32 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

T 111.	0111 .																	
	PATI	ENT 1	4O.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
							_									_		
ΡI	WO S	98478	368			A1		1998	1029		WO 1	998-	EP22	64		1	9980	414
		W:	CA,	JP,	US													
		RW:	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,
			PT,	SE														

GB 1997-7875 A 19970418 GB 1998-1634 A 19980126

OS MARPAT 129:330648

GΙ

Title compds. [I; Ra = R1(R2)aP1, R1(R2)aP3A(R3)aP2; P1-P3 = Ph, bicyclic
aryl, 5-7 membered heterocyclyl, bicyclic heterocyclyl; R1 = H, halo,
alkyl, cycloalkyl, alkyl, alkoxy, NO2, CF3, cyano, heterocyclyl, acyl,
etc.; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl,
aryl, acyloxy, OH, NO2, CF3, NO2, etc.; L = YC(:V)DG; Y = NH, NR5, CH2, O;
R5 = alkyl; V = O, S; D = N, C, CH; G = H, alkyl; GRb = atoms to form a
(substituted) (heterocyclic) ring; Ry = 5-7 membered heterocyclyl, amino;
Q = atoms to form a (substituted) 5-7 membered (heterocyclic) ring; Rc, Rd
= H, alkyl; Rb = H, halo, OH, alkyl, CF3, alkoxy, aryl; n = 1-4], were
prepared Thus, 4-bromo-3-methylphenyl isocyanate (preparation given) in CH2C12
was treated with 5-amino-3-(2-dimethylaminoethyl)indole in CH2C12 to give
88% N-(4-bromo-3-methylphenyl)-N'-[3-(2-dimethylaminoethyl)indol-5yl]urea. Tested I showed pKi >8.0 in a screen for 5HT1A, 5HT1B, and 5HT1D
receptor binding.

IT 215039-06-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor

(preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists)

- RN 215039-06-8 CAPLUS
- CN Urea, N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)
- RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1995:818575 CAPLUS
- DN 124:56724
- TI Preparation of antiviral peptides.
- IN Haebich, Dieter; Schulze, Thomas; Reefschlaeger, Juergen; Hansen, Jutta; Neumann, Rainer; Streissle, Gert; Paessens, Arnold
- PA Bayer A.-G., Germany
- SO Ger. Offen., 60 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

PA'	TENT 1	NO.			KINI)	DATE		AE	PPLICA	MOITA	NO.		D.	ATE		
	4331	-			A1	-		0316			3-4331	_			9930	-	
EP	6465	9 /			A1			0405			1-1135			_	9940		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	GR, II	E, IT,	LI,	LU,	MC,	ΝL,	PT,	SE
									DE	1993	3-4331	134	I	1	9930	914	
US	5646	121			A		1997	0708	US	1994	1-3020	64		1	9940	907	
									DE	1993	3-4331	134	I	1	9930	914	
CA	2131	758			AA		1995	0315	CF	1994	1-2131	758		1	9940	909	
									DE	1993	3-4331	134	I	1	9930	914	
JP	0711	8217			A2		1995	0509	JE	1994	1-2423	64		1	9940	912	
									DE	1993	3-4331	134	I	1	9930	914	

- OS CASREACT 124:56724; MARPAT 124:56724
- GI For diagram(s), see printed CA Issue.
- AB Title compds. (I; a = 1-3; b = 0, 1; R1 = H, protecting group, defined acyl; R2, R3, R5 = H, alkyl, protecting group; R4 = H, NO2, protecting group, (substituted) MeSO2, PhSO2, naphthylsulfonyl; R6 = CHO, CO2H, CH2OH, alkoxymethyl, etc.), were prepared Thus, title compound (II), prepared by solution phase methods, inhibited human cytomegalovirus with IC50 <0.0005 μ M.
- IT 168194-49-8P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of antiviral peptides)
- RN 168194-49-8 CAPLUS
- CN L-Valinamide, N5-[imino[(4-methylphenyl)sulfonyl]methyl]-N2-[[[4-(4-pyridinyl)phenyl]amino]carbonyl]-L-ornithyl-N-[1-(hydroxymethyl)-2-phenylethyl]-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3
    ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
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AN1995:594280 CAPLUS

DN 123:9462

- ΤI Preparation of heterocyclylaryl amides and ureas as 5-HT1D receptor antagonists
- IN Duckworth, David Malcolm; Gaster, Laramie Mary; Jenkins, Sarah Margaret; Jennings, Andrew John; Mulholland, Keith Raymond
- SmithKline Beecham PLC, UK PA
- SO PCT Int. Appl., 24 pp. CODEN: PIXXD2

Patent DТ

LA English

FAN.CNT 1

FAN	PA'	TENT 1	10.			KIN	D	DATE			API	PLICATION NO.		DATE
PI	WO	95060 W:				A1	_	1995	0302		WO	1994-EP2662		19940809
		-	- ,		CH,	DE,	DK,	ES,	FR,	GB,	, GI	R, IE, IT, LU,	MC, N	L, PT, SE
			•	,	·	,	·	ŕ	·	·	GB	1993-17328	A	19930820
											GB	1993-17333	A	19930820
												1993-18186		19930902
											GB	1993-22630	A	19931103
	ΕP	71438	39			A1		1996	0605			1994-925446		19940809
	EP	71438	39			В1		1998	0617					
		R:	BE,	CH,	DE,	FR,	GB,	IT,	LI,	NL				
											GB	1993-17328	A	19930820
											GB	1993-17333	A	19930820
											GB	1993-18186	A	19930902
											GB	1993-22630	A	19931103
											WO	1994-EP2662	W	19940809
	JP	09504	1004			T 2		1997	0422		JΡ	1994-507309		19940809
											GB	1993-17328	A	19930820
											GB	1993-17333	A	19930820
												1993-18186	A	19930902
											GB	1993-22630	A	19931103
											WO	1994-EP2662	W	19940809
	US	59050	080			Α		1999	0518			1996-596223		19960215
											_	1993-17328	A	19930820
												1993-17333	A	19930820
												1993-18186	A	19930902
												1993-22630	A	19931103
											WO	1994-EP2662	M	19940809

MARPAT 123:9462 OS

GΙ

For diagram(s), see printed CA Issue. Title compds. I (P = Ph, 5-7-membered heterocyclyl containing 1-3 of O, N, S; AΒ R1 = H, halo, C1-6 alkyl, C3-6 cycloalkyl, C1-6 alkoxy, HO, NC, acyl, F3C, HS, H2N, etc.; R2 = H, halo, C1-6 alkyl, C1-6 alkoxy, acyl, O2N, etc.; R3= H, halo, C1-6 alkyl, C1-6 alkoxy; R4 - H, C1-6 alkyl; A = HN, C1-6 acyclyl; n = 1,2) or a salt thereof useful as 5-HT1D antagonists (no data), are prepared 4-Bromophenylacetic acid was converted to the acid chloride and treated with 4-methoxy-3-(4-methyl-1-piperazinyl) benzenamine to give I (P = C6H4, R1 = H, R2 = Br, R3 = p-MeO, R4 = Me, A = CH2, n = RA

- 1). Pharmaceutical compns. containing I are claimed.
- IT 163620-41-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclylaryl amides and ureas as 5-HT1D receptor antagonists)

- RN 163620-41-5 CAPLUS
- CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)
- L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1991:460731 CAPLUS
- DN 115:60731
- TI Silver halide photographic materials
- IN Hirano, Shigeo; Deguchi, Hisayasu
- PA Fuji Photo Film Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 50 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 02244041	A2	19900928	JP 1989-64715	19890316
	JP 2881233	В2	19990412		
				JP 1989-64715	19890316

- GI For diagram(s), see printed CA Issue.
- AB The title materials contain at least one silver halide emulsion layer on a support. The title materials contain ≥ 1 compound $A(L1) \vee Q$ (I) [A = group releasing (L1) $\vee Q$ by reaction with oxidized developing agent; L1 = group releasing Q after cleavage of the bond between A and L1; Q = Q1, Q2 from which any hydrogen radical has been removed; Q1 = R21R22R24N+R23 Yn; R21-R24, and R31 = alkyl, alkenyl, aryl, which may have substituents; Z = non-metallic atoms forming 5- or 6-membered (substituted) heterocyclic ring other than triazole; Y = counter ion; \vee , n = 0 or 1]. The title materials promote development and have high sensitivity. Amide II is an example of I.
- IT 135138-28-2
 - RL: TEM (Technical or engineered material use); USES (Uses) (silver halide photog. material containing)
- RN 135138-28-2 CAPLUS
- CN Pyridinium, 4-[4-[[[[3-[5-[[6-[(hexadecylsulfonyl)amino]-2,3-dihydro-1-oxo-1H-inden-2-yl]thio]-1H-tetrazol-1-yl]phenyl]amino]carbonyl]amino]phenyl]-1-methyl-, bromide (9CI) (CA INDEX NAME)

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ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
L3
AN 1983:470567 CAPLUS
DN
           99:70567
TI N-[4-(4-Pyridinyl)] phenyl] ureas and their cardiotonic use
IN Lesher, George Y.; Page, Donald F.
PA
             Sterling Drug Inc., USA
SO
           U.S., 6 pp. Cont.-in-part of U.S. 4,317,827.
            CODEN: USXXAM
DT
             Patent
LA
        English
FAN.CNT 2
            PATENT NO.
                                                         KIND DATE APPLICATION NO.
                                                                                                                                                                                  DATE
                                                               ----
                                                                                                                   ______
                                                                                 19830315 US 1981-285379 19810720
US 1980-152991 A2 19800527
19820302 US 1980-152991 19800527
            US 4376775
                                                                 A
РΤ
                                                                 A
             US 4317827
                                                                                 19810521

US 1980-152991 A 19800527

19811128 FI 1981-1576 19810522

US 1980-152991 A 19800527

19811209 GB 1981-15762
                                                                 A1
             AU 8170901
                                                                  A
            FI 8101576
                                                   A
B2
             GB 2076815
          GB 2076815 B2 19840523

US 1980-152991 A 19800527

ZA 8103474 A1 19820630 ZA 1981-3474 19810525

BE 888963 A1 19811126 BE 1981-10237 19810526

US 1980-152991 A 19800527

DK 8102298 A 19811128 DK 1981-2298 19810526

US 1980-152991 A 19800527

SE 8103325 A 19811128 DK 1981-3229 A 19800527

NO 8101783 A 19811130 NO 1981-1783 19810526

US 1980-152991 A 19800527

NL 8102582 A 19811216 NL 1981-2582 19810526

US 1980-152991 A 19800527

DE 3120954 A1 19820204 DE 1981-3120954 19810526

US 1980-152991 A 19800527

ES 502493 A1 19820401 ES 1981-52991 A 19800527

CA 1161440 A1 19840131 CA 1981-25991 A 19800527

US 1980-152991 A 19800527
             GB 2076815
                                                                                     19840523
PATENT FAMILY INFORMATION:
FAN 1982:199532
                                                                                     DATE APPLICATION NO. DATE
             PATENT NO.
                                                                 KIND
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FR 2483233 A1 19811204 FR 1981-10481 19810526 FR 2483233 B1 19840615

PΙ

US	4317827	A	19820302		1980-152991 1980-152991	A	19800527 19800527
						Α	
ΑU	8170901	A1	19811203		1981-70901		19810521
					1980-152991	Α	19800527
FI	8101576	A	19811128		1981-1576		19810522
					1980-152991	Α	19800527
	2076815	A	19811209	GB	1981-15762		19810522
GB	2076815	B2	19840523				
					1980-152991	A	19800527
ZA	8103474	A1	19820630		1981-3474		19810525
					1980-152991	Α	19800527
BE	888963	A1	19811126		1981-10237		19810526
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DK	8102298	A	19811128		1981-2298		19810526
					1980-152991	Α	19800527
SE	8103325	A	19811128		1981-3325		19810526
					1980-152991	Α	19800527
ИО	8101783	A	19811130	-	1981-1783		19810526
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NL	8102582	A	19811216		1981-2582		19810526
					1980-152991	Α	19800527
DE	3120954	A1	19820204		1981-3120954		19810526
					1980-152991	Α	19800527
ES	502493	A1	19820401		1981-502493		19810526
					1980-152991	Α	19800527
CA	1161440	A1	19840131		1981-378281		19810526
					1980-152991	Α	19800527
JΡ	57011965	A2	19820121		1981-80711		19810527
					1980-152991	Α	19800527
AT	8102384	A	19840115		1981-2384		19810527
					1980-152991	Α	19800527
US	4377585	A	19830322		1981-284771		19810720
					1980-152991	А3	19800527
FR	2489147	A1	19820305		1981-18336		19810929
	7777 OF 00 30563			US	1980-152991	A	19800527

OS CASREACT 99:70567

GΙ

(preparation and cardiotonic activity of)

RN 81722-12-5 CAPLUS

CN Urea, [4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

The cardiotonic title compds. I (R, R4 = H, Me, Et; R1 = H, Me, Et, HO; R2, R3 = H, Me) and their pharmaceutically acceptable acid addition salts were prepared. Thus, 39.2 g 4-(4-pyridyl)aniline in AcOH was treated with 74.1 g potassium cyanate in H2O at $55-60^{\circ}$ to give 19.3 g I (R-R4 = H). At 30 μ g/mL I (R-R4 = H) increased the papillary muscle force and right atrial force by 58 and 26%, resp. (cat test).

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:199532 CAPLUS

DN 96:199532

TI Aminophenylpyridines and cardiotonic compositions containing them

IN Lesher, George Yohe; Page, Donald Frederick

PA Sterling Drug Inc., USA

SO Fr. Demande, 19 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 2

FAN	.CNT 2 PATENT NO.	KIND	DATE	API	PLICATION NO.		DATE
ΡI	FR 2483233 FR 2483233	A1 B1	19811204 19840615	FR	1981-10481		19810526
	110 2100200	Di	19010010	US	1980-152991	A	19800527
	US 4317827	A	19820302		1980-152991		19800527
	00 101 101 1		13010001	0.0	1500 101551	А	
	AU 8170901	A1	19811203	AU	1981-70901		19810521
					1980-152991	А	19800527
	FI 8101576	А	19811128	FI	1981-1576		19810522
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	GB 2076815	A	19811209	GB	1981-15762		19810522
	GB 2076815	B2	19840523				
				US	1980-152991	A	19800527
	ZA 8103474	A1	19820630	ZA	1981-3474		19810525
				US	1980-152991	A	19800527
	BE 888963	A1	19811126	BE	1981-10237		19810526
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	DK 8102298	A	19811128	DK	1981-2298		19810526
					1980-152991	А	19800527
	SE 8103325	A	19811128		1981-3325		19810526
					1980-152991	A	19800527
	NO 8101783	A	19811130		1981-1783		19810526
					1980-152991	А	19800527
	NL 8102582	A	19811216		1981-2582		19810526
					1980-152991	А	19800527
	DE 3120954	A1	19820204		1981-3120954		19810526
					1980-152991	A	19800527
	ES 502493	A1	19820401		1981-502493		19810526
					1980-152991	A	19800527
	CA 1161440	A1	19840131		1981-378281	_	19810526
					1980-152991	A	19800527
	JP 57011965	A2	19820121		1981-80711	_	19810527
	0100001	_			1980-152991	A	19800527
	AT 8102384	A	19840115		1981-2384	_	19810527
	4022505	-	1000000		1980-152991	A	19800527
	US 4377585	A	19830322		1981-284771	7.0	19810720
	ED 0400142	73.7	10000005		1980-152991	A3	19800527
	FR 2489147	A1	19820305	FR	1981-18336		19810929

PATENT FAMILY INFORMATION:

FAN 1983:470567

US 1980-152991 A 19800527

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 4376775	A	19830315	US 1981-285379	19810720
					2 19800527
	US 4317827	A	19820302	US 1980-152991	19800527
	04 5 0 0 0 4			Α	
	AU 8170901	A1	19811203	AU 1981-70901	19810521
	FT 0101555	_	10011100	US 1980-152991 A	
	FI 8101576	A	19811128	FI 1981-1576	19810522
	CD 207601E	70	10011000	US 1980-152991 A	
	GB 2076815	A	19811209	GB 1981-15762	19810522
	GB 2076815	В2	19840523	US 1980-152991 A	19800527
	ZA 8103474	A1	19820630	ZA 1981-3474	19810525
	ZA 0103474	AI	19020030	US 1980-152991 A	19810525
	BE 888963	A1	19811126	BE 1981–10237	19810526
	BE 000903	AI	17011120	US 1980-152991 A	
	DK 8102298	А	19811128	DK 1981-2298	19810526
	211 0102230		13011120	US 1980-152991 A	
	SE 8103325	A	19811128	SE 1981-3325	19810526
	3_ 3_33_3_3			US 1980-152991 A	
	NO 8101783	A	19811130	NO 1981-1783	19810526
				US 1980-152991 A	19800527
	NL 8102582	A	19811216	NL 1981-2582	19810526
				US 1980-152991 A	19800527
	DE 3120954	A1	19820204	DE 1981-3120954	19810526
				US 1980-152991 A	19800527
	ES 502493	A1	19820401	ES 1981-502493	19810526
				US 1980-152991 A	19800527
	CA 1161440	A1	19840131	CA 1981-378281	19810526
				US 1980-152991 A	
	JP 57011965	A2	19820121	JP 1981-80711	19810527
				US 1980-152991 A	
	AT 8102384	A	19840115	AT 1981-2384	19810527
		_		US 1980-152991 A	
	US 4377585	A	19830322	US 1981-284771	19810720
	TD 0400147	7.1	10000005		3 19800527
	FR 2489147	A1	19820305	FR 1981-18336	19810929
				US 1980-152991 A	19800527

OS CASREACT 96:199532

GI

AB 4-Phenylpyridines I (R and R1 each are H, Me; R2 = H, Me, Et, OH; R3 = H, Me, Et; R4 = H, α -hydroxyalkanoyl, α -acetoxyalkanoyl, MeCH:CHCO, CONH2, CHO, alkanoyl, HO2CCH2CH2CO) were prepared and they showed cardiac contraction and antihypertensive activity. 4-(4-Aminophenyl)pyridine was heated with HCO2H to give 4-(4-formamidophenyl)pyridine.

IT 81722-12-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and cardiac contraction activity of)

RN 81722-12-5 CAPLUS

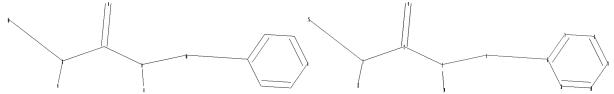
CN Urea, [4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

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---Logging off of STN---

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Uploading C:\Program Files\Stnexp\Queries\rkc446n.str



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ring nodes :
6 7 8 9 10 11
chain bonds :
         1-16 2-3 2-4 3-5 3-14 5-6
1-13 \quad 1-2
ring bonds :
         7-8 8-9 9-10 10-11
6-11 6-7
exact/norm bonds :
1-2 1-16 2-3 2-4 3-5 5-6
exact bonds :
1-13 3-14
normalized bonds :
6-11 6-7 7-8 8-9 9-10 10-11
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G1:C,O

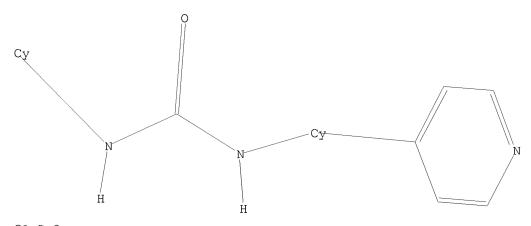
G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

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Match level:
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:CLASS 14:CLASS 16:Atom
Generic attributes:
5:
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Saturation : Unsaturated Number of Hetero Atoms : less than 2

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L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR
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G1 C,O G2 O,S,N,Me,Et,n-Pr,MeO,EtO,n-PrO

Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful

FULL SEARCH INITIATED 08:52:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 81617 TO ITERATE

100.0% PROCESSED 81617 ITERATIONS 80 ANSWERS

SEARCH TIME: 00.00.02

L2 80 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 166.94 167.15

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http://www.cas.org/infopolicy.html

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L3 8 L2

=> d 1-8 fbib abs fhitstr

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:515503 CAPLUS

DN 141:71452

TI Preparation of pyridine derivatives as JNK inhibitors

IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie

PA Astrazeneca Ab, Swed.

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIN)	DATE			APPL	ICAT	I NOI	NO.		D	ATE		
ΡI	WO	2004	0528	80		A1	_	2004	0624	1	WO 2	003-	 SE19:	 11		2	0031	208	
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
			NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
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			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG
											SE 2	002-	3654		2	A 2	0021	209	
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										SE 2	002-	3654		Ž	A 2	0021	209		
										1	WO 2	003-	SE19	11	Ī	W 2	0031	208	
			TM, BW, BY, ES, TR,	TN, GH, KG, FI, BF,	TR, GM, KZ, FR, BJ,	TT, KE, MD, GB, CF,	TZ, LS, RU, GR, CG,	UA, MW, TJ, HU, CI,	UG, MZ, TM, IE, CM,	US, SD, AT, IT, GA,	UZ, SL, BE, LU, GN, SE 2 AU 2	VC, SZ, BG, MC, GQ, 002-:	VN, TZ, CH, NL, GW, 3654 3029:	YU, UG, CY, PT, ML,	ZA, ZM, CZ, RO, MR,	ZM, ZW, DE, SE, NE, A 2	ZW AM, DK, SI, SN, 0021:	AZ, EE, SK, TD, 209 208	

OS MARPAT 141:71452

GI

The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, CONR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

IT 712269-07-3P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4-bipyridine-2,2'-diamine derivs. as JNK inhibitors) 712269-07-3 CAPLUS

CN Urea, N-phenyl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:591913 CAPLUS

DN 137:150215

TI Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents

IN Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 194 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 2002220338	A2	20020809	JP 2001-18755	20010126
				JP 2001-18755	20010126

OS MARPAT 137:150215

GI

$$X = Z$$
 $X = Z$
 Y
 $R3$
 HN
 $NHAr$
 $R4$
 $R5$
 O

Ι

AB This invention relates to the general structures (I; Ar = N-containing hetero aromatic ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

IT 322685-62-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $(Cdk4 \ and/or \ Cdk6 \ inhibitors \ with \ biaryl \ ureas \ and \ their \ salts \ as \ antitumor \ agents)$

RN 322685-62-1 CAPLUS

CN Urea, N-[4,4'-bipyridin]-2-yl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

- L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2002:50090 CAPLUS
- DN 136:375464
- TI Strong and directed association of porphyrins and iron(terpyridine)s using hydrogen bonding and ion pairing
- AU Norsten, Tyler B.; Chichak, Kelly; Branda, Neil R.
- CS Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2, Can.

```
CODEN: TETRAB; ISSN: 0040-4020
PΒ
            Elsevier Science Ltd.
DT
            Journal
LA
            English
            The combination of cooperative hydrogen bonding and ion pairing between
AB
            cationic iron(II) terpyridines and anionic porphyrins yielded remarkably
            stable neutral complexes even in the highly competitive solvent DMSO.
            Isothermal titration calorimetry (ITC) was used to compare association consts.,
            enthalpies and entropies of binding between various combinations of the
            two mol. components that make up the complexes. Steady-state luminescence
            studies highlighted that, as expected, the fluorescence quenching of the
            porphyrin is maximized in the cases where the iron(terpyridine) is
            strapped the tightest across the macrocycle.
            424788-16-9P
ΤТ
            RL: CPS (Chemical process); PEP (Physical, engineering or chemical
            process); PRP (Properties); SPN (Synthetic preparation); PREP
            (Preparation); PROC (Process)
                    (Hydrogen Bonding; association between porphyrins and
                    iron(xanthene)(terpyridine)s by means of hydrogen bonding and ion
                   pairing)
RN
            424788-16-9 CAPLUS
CN
            Iron(2+), bis[N-[3,5-bis(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)phenyl-N'-[7-(1,1-dimethylethyl)phenyl-N'-[7-(1,1-dimethylethylethyll)phenyl-N'-[7-(1,1-dimethylethyll)phenyl-N'-[7-(1,1-dimethyll)phenyl-N'-[7-(1,1-dimethyll)phenyl-N'-[7-(1,1-dimethyll)phenyl-N'-[7-(1,1-dimethyll)phenyl-N'-[7-(1,1-dimethyll)phenyl-
            dimethylethyl)-9,9-dimethyl-5-([2,2':6',2''-terpyridin]-4'-yl-
            \kappaN1, \kappaN1', \kappaN1'') -9H-xanthen-2-yl]urea]-, (OC-6-1'2')-,
            salt with 3,3'-(21H,23H-porphine-5,15-diyl)bis[benzoic acid] (1:1) (9CI)
            (CA INDEX NAME)
            CM
            CRN 424788-10-3
            CMF C98 H106 Fe N10 O4
            CCI CCS
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SO

Tetrahedron (2002), 58(4), 639-651

PAGE 1-A

CM 2

CRN 385816-87-5 CMF C34 H20 N4 O4

RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

2001:78363 CAPLUS ΑN

DN 134:147614

ΤI Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko Banyu Pharmaceutical Co., Ltd., Japan

IN

PA

PCT Int. Appl., 460 pp. SO

CODEN: PIXXD2

DTPatent

LA Japanese

FAN.CNT 1

OS

MARPAT 134:147614

	PAT	PATENT NO.						DATE				ICAT					DATE	
ΡI	WO	2001	 0074	 11													 20000	 726
		W:															, CU,	
			DM,	DZ,	EE,	GD,	GE,	HR,	HU,	ID,	IL,	IN,	IS,	KG,	KR,	ΚZ	, LC,	LK,
			LR,	LT,	LV,	MA,	MD,	MG,	MK,	MN,	MX,	MZ,	NO,	NZ,	PL,	RO	, RU,	SG,
			SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	US,	UZ,	VN,	YU,	ZA				
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE	, СН,	CY,
																SE	, BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG			
																	19990	726
	CA 2380389				AA		2001	0201		CA 2	000-	2380	389			20000	726	
																19990		
	JP 2001106673								WO 2	000-	JP49	91	,	W.	20000			
	JP 2001106673			A2		2001	0417		JP 2	000-	2741	75			20000			
																	19990	
		1199									EP 2	000-	9499	09			20000	726
	ΕP	1199						2005										
		R:										IT,	LI,	LU,	NL,	SE	, MC,	PT,
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,									
																	19990	
																	20000	
	EΡ	1557						2005	0727		EP 2	005-	1014	02			20000	726
		R:	DE,	ES,	FR,	GB,	ΙT											
																	19990	
																	20000	
	US	6958	333			В1		2005	1025			2002-					20020	
																	19990	
											WO 2	000-	JP49	91		W.	20000	726

AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic aromatic group such as (un) substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO2; R1 represents hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un) substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = ingle bond, O, S, SO, SO2, N-(un)substituted NH, SO2NH, NHSO2NH, NHSO2, CONH, NHCO, NHCONH, NHCO2, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepared The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC50 of 0.061 and 0.019 μM against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 μM , resp., for (\pm) -flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC50 of 0.013 and 0.10 $\mu M,$ resp., vs. 0.15 and 0.87 μM , resp., for (±)-flavopiridol. Pharmaceutical formulations containing I were prepared

ΙI

IT 322685-62-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)

RN 322685-62-1 CAPLUS

CN Urea, N-[4,4'-bipyridin]-2-yl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:425744 CAPLUS

DN 131:73649

TI Preparation of pyrazolyl aryl ureas and related compounds as p38 kinase inhibitors

- IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott,
 William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson,
 Jeffrey; Redman, Aniko; Sibley, Robert
- PA Bayer Corporation, USA
- SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATE	ENT 1	NO.			KIN	D :	DATE			APPL	ICAT	ION 1	NO.		D.	ATE		
							_									_			
ΡI	WO S	9932	110			A1		1999	0701	,	WO 1	998-1	US26	079		1	9981	222	
		W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
	DK, EE,		ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,			
			ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	
			TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZW,	AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	

		FI, CM,	•	•	•	•	•	LU, NE,	•		•	•	Ε,	BF,	ВJ,	CF.	, CG,	CI,
		011,	011,	0217	J,	,	,	,	,		1997		575	1		A :	19971	222
CA	2315	647			AA		1999	0701			1998						19981	222
										US	1997	7-99!	575	1		A :	19971	.222
										WO	1998	3-US	260	79		W :	19981	.222
AU	9919	970			A1		1999	0712		AU	1999	9-199	970				19981	.222
AU	7620	77			В2		2003	0619										
										US	1997	7-99!	575	1		A :	19971	.222
										WO	1998	3-US	260	79			19981	
EΡ	1043				A1			1018			1998	3-96	470	8			19981	.222
	R:							FR,	GB,	GF	≀, I]	[, L	Ι,	LU,	NL,	SE,	, MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO											
											1997			_			19971	
											1998			-			19981	
JP	2001	5262	22		Т2		2001	1218			2000						19981	
											1997						19971	
										WO	1998	3-US	260	79		W :	19981	.222
MA:	RPAT	131:	7364	9														

OS MARPAT 131:73649

GΙ

AΒ A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing ≥ 1 5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 2,3-dichlorophenyl isocyanate with 1-(4-methoxyphenyl)-3-tertbutyl-5-aminopyrazole in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC50 values of 1-10 μM . 227623-24-7P ΙT

ΙI

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl aryl ureas and related compds. as p38 kinase inhibitors)

RN 227623-24-7 CAPLUS

Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-2-(4-pyridinyl)-3-(4-CN furanyl]- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:425740 CAPLUS

DN 131:73648

TI Inhibition of raf kinase using substituted heterocyclic ureas

IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger;
Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.;
Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko

PA Bayer Corporation, USA

SO PCT Int. Appl., 163 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PAN.		TENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
ΡI	WO	9932	 106			A1	_	1999	0701	,	 WO 1	.998-	 US26	 078		1	 9981	222
		W:						•	•			BY,						
							•	•	•	•	•	HR,						
												LT,				,		
								•	•	•	SD,	SE,	56,	51,	SK,	SL,	IJ,	ΙМ,
		D Ta7 •						VN,	•		יען בי	AT,	DF	СП	CV	DE	DK	ГC
		1///										PT,						
								MR,					OL,	DL ,	20,	01 /	00,	01,
			•	,	•	,	•	,	·			997-	9963	43		A 1	9971	222
	CA	2315	717			AA		1999	0701		CA 1	.998-	2315	717		1	9981	222
												.997-		_			9971	
												.998-					9981	
	AU	9921	989			A1		1999	0712			.999-					9981	
												997-					9971	
	ГD	1047	/11O			A1		2000	1102			.998- .998-				_	9981 9981	
		1047				B1		2005			CF I	. 990-	3033	01		1	<i>99</i> 01	444
	ш			BE.	CH.					GB.	GR.	IT,	LI.	LU.	NL.	SE.	MC -	PT.
		•		SI,						22,	01()	,	,	_0,	,	24,		/
			,	,	,	,	,											

				US	1997-996343	Α	19971222
				WO	1998-US26078	W	19981222
TR	200002618	T2	20010420	TR	2000-200002618		19981222
				US	1997-996343	Α	19971222
JP	2001526220	T2	20011218	JΡ	2000-525097		19981222
				US	1997-996343	Α	19971222
				WO	1998-US26078	W	19981222
BR	9814374	A	20020514	BR	1998-14374		19981222
				US	1997-996343	Α	19971222
				WO	1998-US26078	W	19981222
RU	2232015	C2	20040710	RU	2000-120184		19981222
				US	1997-996343	Α	19971222
				WO	1998-US26078	W	19981222
CN	1544420	A	20041110	CN	2004-10028655		19981222
				US	1997-996343	Α	19971222
ΑT	300299	E	20050815	ΑT	1998-965981		19981222
				US	1997-996343	Α	19971222
				WO	1998-US26078	W	19981222
ES	2153340	Т3	20060201	ES	1998-965981		19981222
				US	1997-996343	Α	19971222
NO	2000003232	A	20000821	ИО	2000-3232		20000621
				US	1997-996343	Α	19971222
				WO	1998-US26078	M	19981222
ВG	104597	A	20010228	ВG	2000-104597		20000712
				US	1997-996343	Α	19971222
				WO	1998-US26078	W	19981222
HK	1029052	A1	20051118		2000-107684		20001130
					1997-996343	Α	19971222
				WO	1998-US26078	Α	19981222

OS MARPAT 131:73648

GI

ΙT

AB A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I; A = substituted isoxazolyl, thienyl, thiadiazolyl, furyl, pyrazolyl, etc.; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing ≥ 1 5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temperature for 2 days gave title compound II. In an in vitro raf kinase assay, I displayed IC50 values of 1-10 $\mu \rm M$.

229000-60-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted heterocyclic ureas for treatment of cancerous cell growth mediated by raf kinase)

RN 229000-60-6 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[1-(4-pyridinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 1999:421660 CAPLUS
- DN 131:44811
- TI Preparation of aryl- and heteroaryl-substituted heterocyclic ureas as raf kinase inhibitors
- IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger;
 Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.;
 Hatoum-Mokdad, Holia; Johnson, Jeffrey; Redman, Aniko; Sibley, Robert
- PA Bayer Corporation, USA
- SO PCT Int. Appl., 58 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

r miv.		rent :	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
ΡI	WO	9932	 455			A1	_	1999			 WO 1	 998-	 US26	 082		1	9981	 222
		W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
			KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,
			TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZW								
		RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
									•	•			SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GA,	GN,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,									
														-			9971	
	CA	2315	713			AA		1999	0701					713			9981	
														81			9971	
											_			082			9981	
	_	9919				A1		1999	-		AU 1	999-	1905	5		1	9981	222
	ΑU	7654	12			В2		2003	0918									
														81			9971	
											WO 1	998-	US26	082	,	W 1	9981	222
	TR	2000	0261	7		Т2		2000	1121		TR 2	000-	2000	0261	7	1	9981	222
										1	US 1	997-	9961	81		A 1	9971	222
	EΡ	1056	725			A1		2000	1206		EP 1	998-	9638	10		1	9981	222

	CH, DE, LT, LV,		GB, GR, IT, LI, LU, NL, S	E, MC, PT,
,,	,,	,	US 1997-996181 A	19971222
			WO 1998-US26082 W	19981222
TR 200100918	Т2	20010621	TR 2001-200100918	19981222
			US 1997-996181 A	19971222
TR 200100917	Т2	20010723	TR 2001-200100917	19981222
			US 1997-996181 A	19971222
BR 9814361	A	20011127	BR 1998-14361	19981222
			US 1997-996181 A	19971222
			WO 1998-US26082 W	19981222
JP 2001526269	Т2	20011218	JP 2000-525392	19981222
			US 1997-996181 A	19971222
			WO 1998-US26082 W	19981222
CN 1117081	В	20030806	CN 1998-812504	19981222
			US 1997-996181 A	19971222
NZ 505845	A	20031031	NZ 1998-505845	19981222
			US 1997-996181 A	19971222
			WO 1998-US26082 W	19981222
RU 2265597	C2	20051210	RU 2000-120162	19981222
			US 1997-996181 A	19971222
			WO 1998-US26082 W	19981222
NO 2000003231	A	20000822	NO 2000-3231	20000621
NO 319209	B1	20050627		
			US 1997-996181 A	19971222
			WO 1998-US26082 W	19981222
BG 104598	A	20010228	BG 2000-104598	20000712
			US 1997-996181 A	19971222
			WO 1998-US26082 W	19981222
MARPAT 131:4481	1			

OS

AΒ The title compds. ANHCONHB (A = heteroaryl; B = aryl, heteroaryl), raf kinase inhibitors, were prepared E.g., N-(1-phenyl-3-tert-butyl-5pyrazolyl)-N'-(4-(4-pyridinylmethyl)phenyl)urea was prepared 227623-24-7P ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl- and heteroaryl-substituted heterocyclic ureas as raf kinase inhibitors)

RN 227623-24-7 CAPLUS

CN furanyl]- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:421642 CAPLUS

DN 131:58658

TI Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas

IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger,
 Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood,
 Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming

PA Bayer Corporation, USA

SO PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

L ZIV.	PATENT NO.						D	DATE		APPLICATION NO.						DATE			
ΡI	WO	9932436			A1	_	19990701		WO 1998-US26081					19981222					
		W:	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
			ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	
			TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZW									
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	
			FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
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	EP 1049664				В1		2005	0316											

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										US	1997-	-9963	44		Α	199	71	222
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	R:		BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	, LI,	LU,	NL,	SI	Ξ, Μ	C,	PT,
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AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A =

certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepared For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtoAc gave title compound II. In an in vitro raf kinase assay, all compds. displayed IC50 values between 1 nM and 10 $\mu\text{M}.$

IT 228399-93-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sym. and unsym. substituted di-Ph ureas with inhibitory effects on tumors mediated by raf kinase)

RN 228399-93-7 CAPLUS

CN Urea, N-[2-methoxy-5-(trifluoromethyl)phenyl]-N'-[1-(4-pyridinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

45.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL